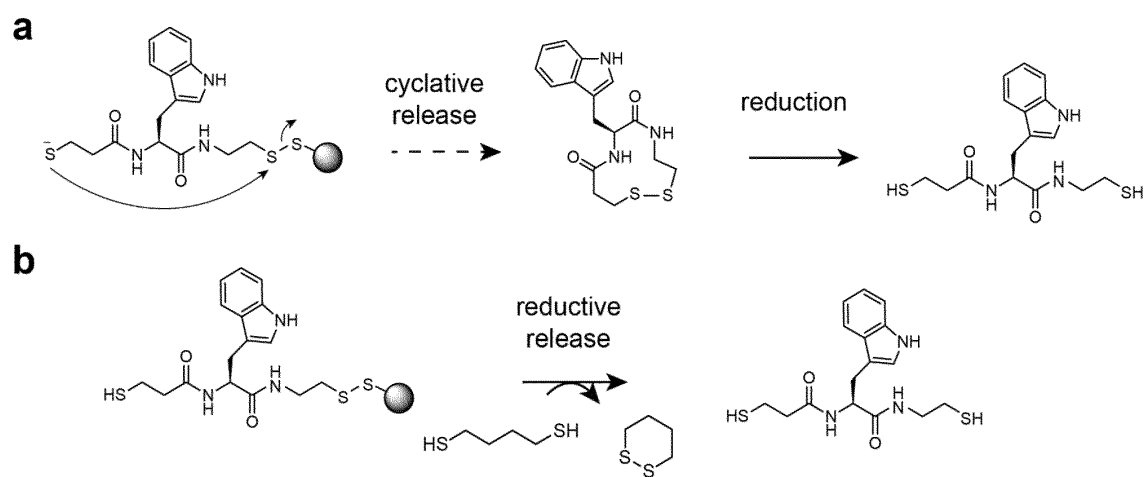


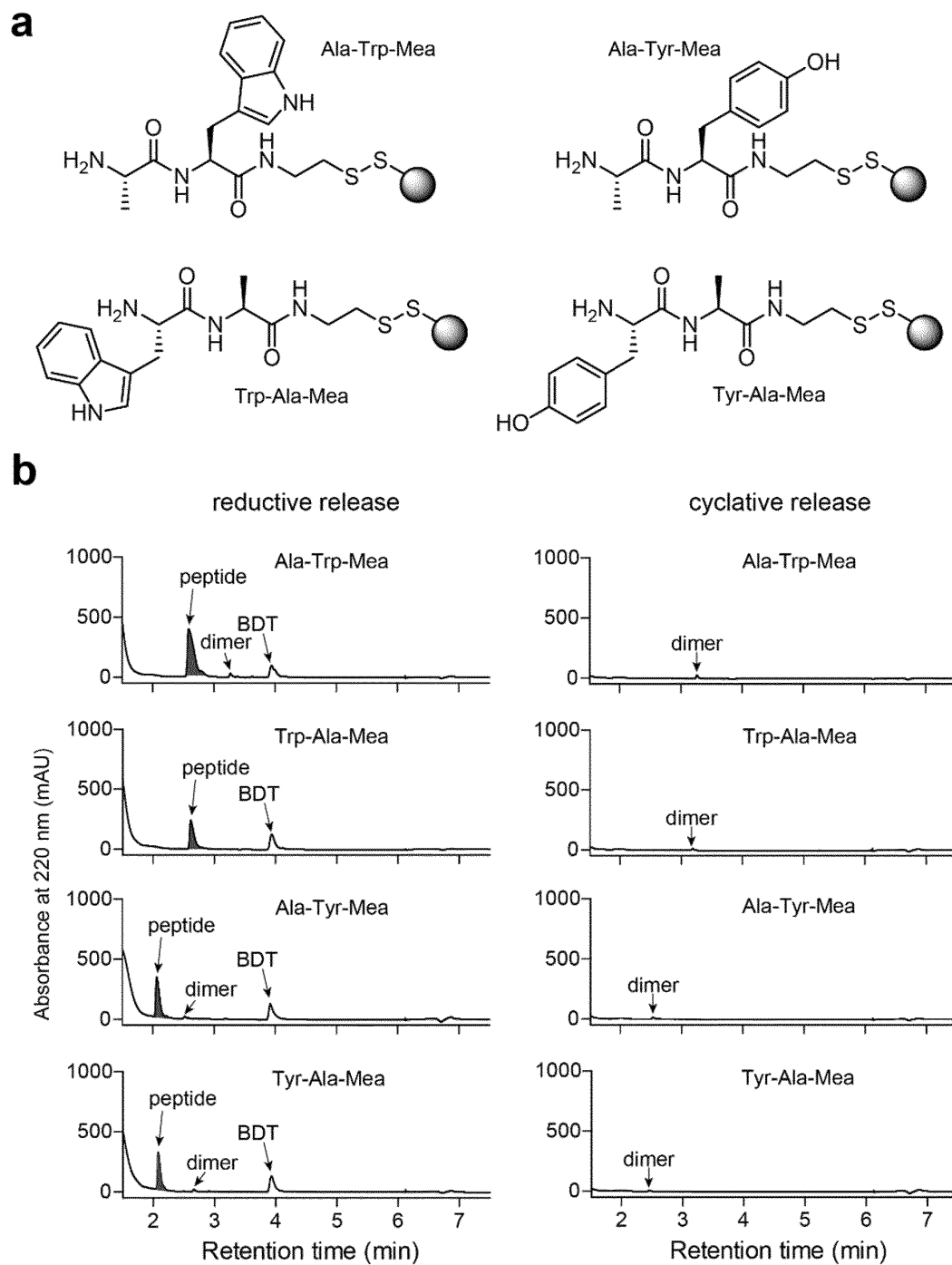
1/64

Figure 1



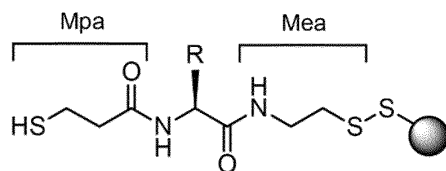
2/64

Figure 2

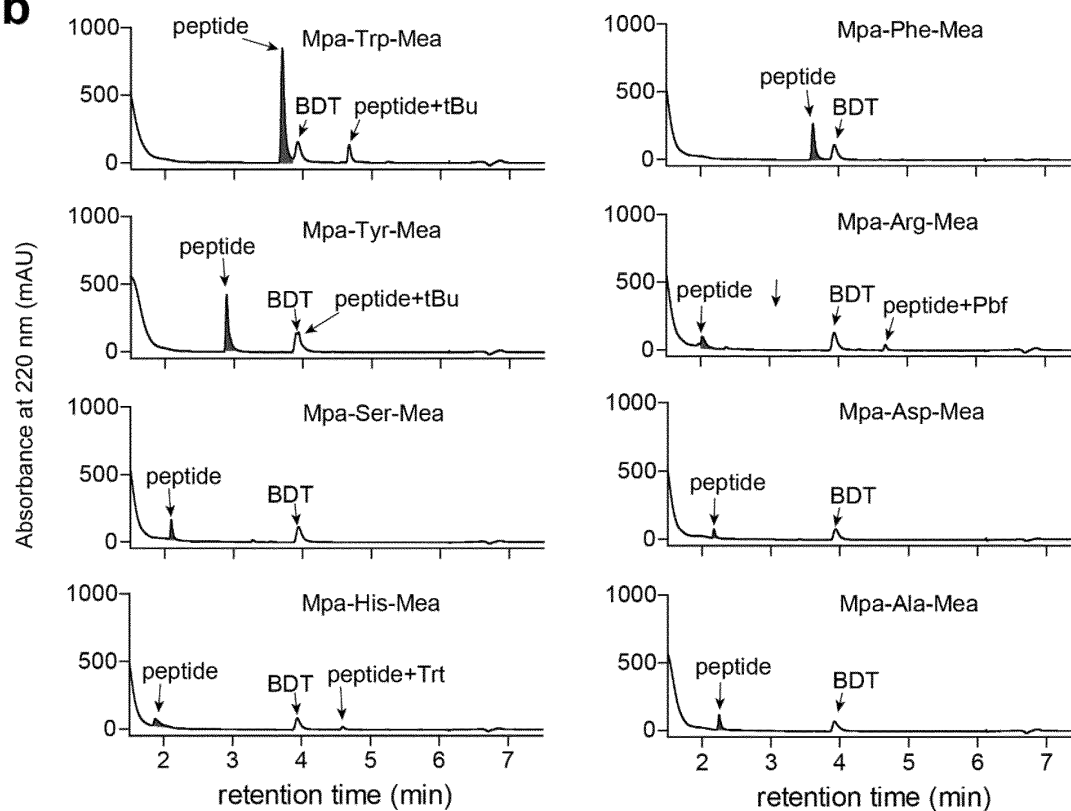


3/64

Figure 3

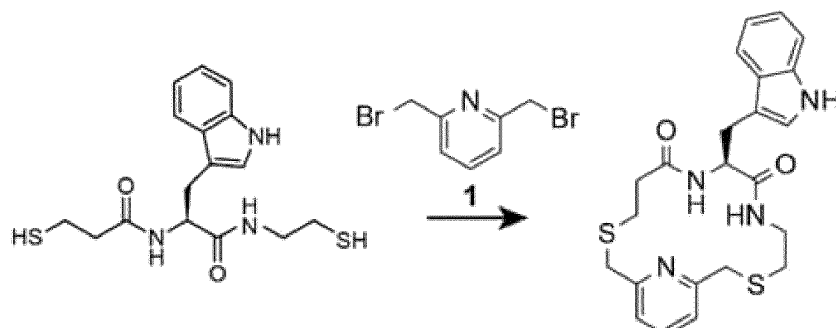
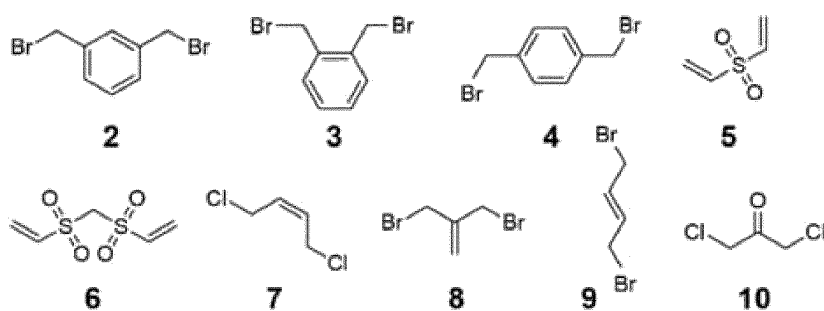
a

R = side chain of Trp, Tyr, Ser, His, Phe, Arg, Asp, ALa

b

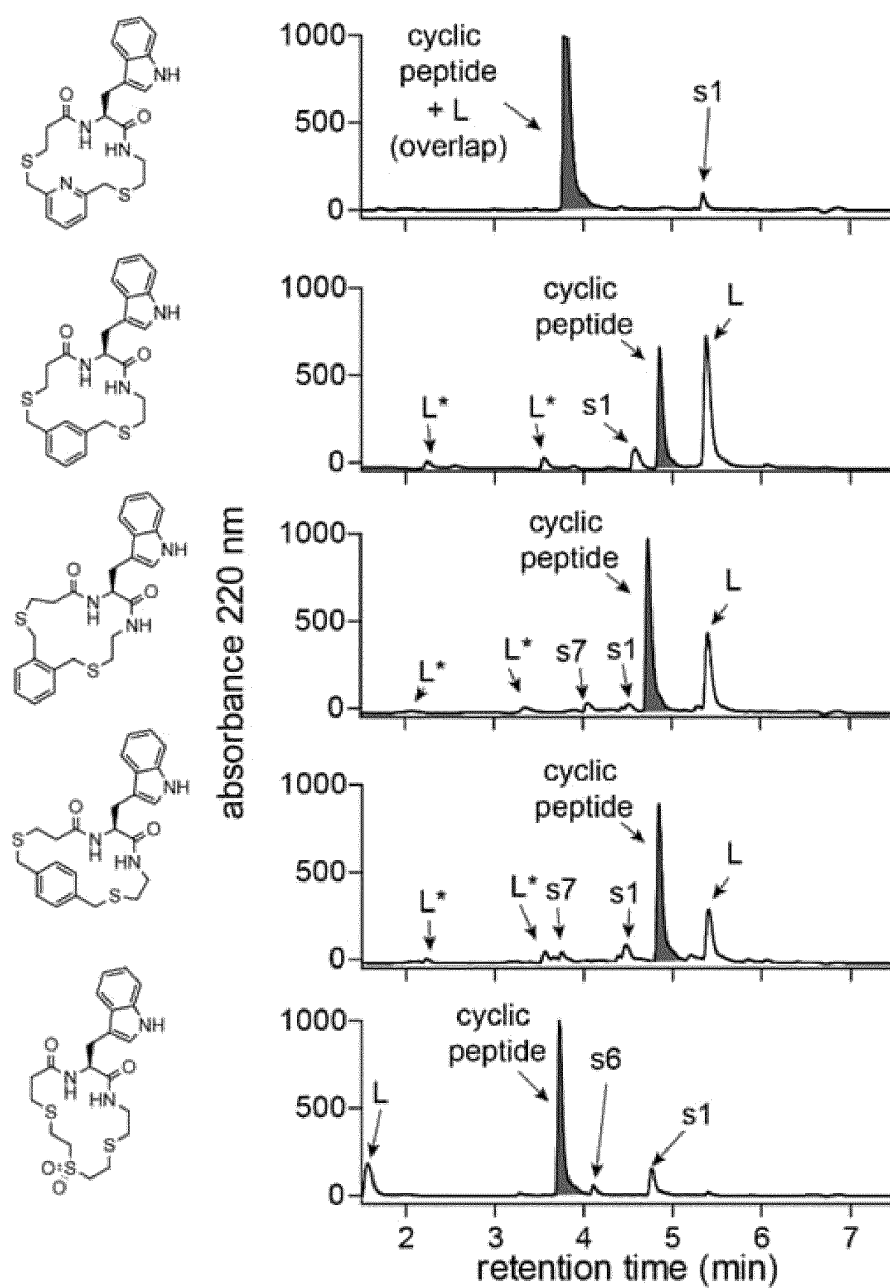
4/64

Figure 4

a**b**

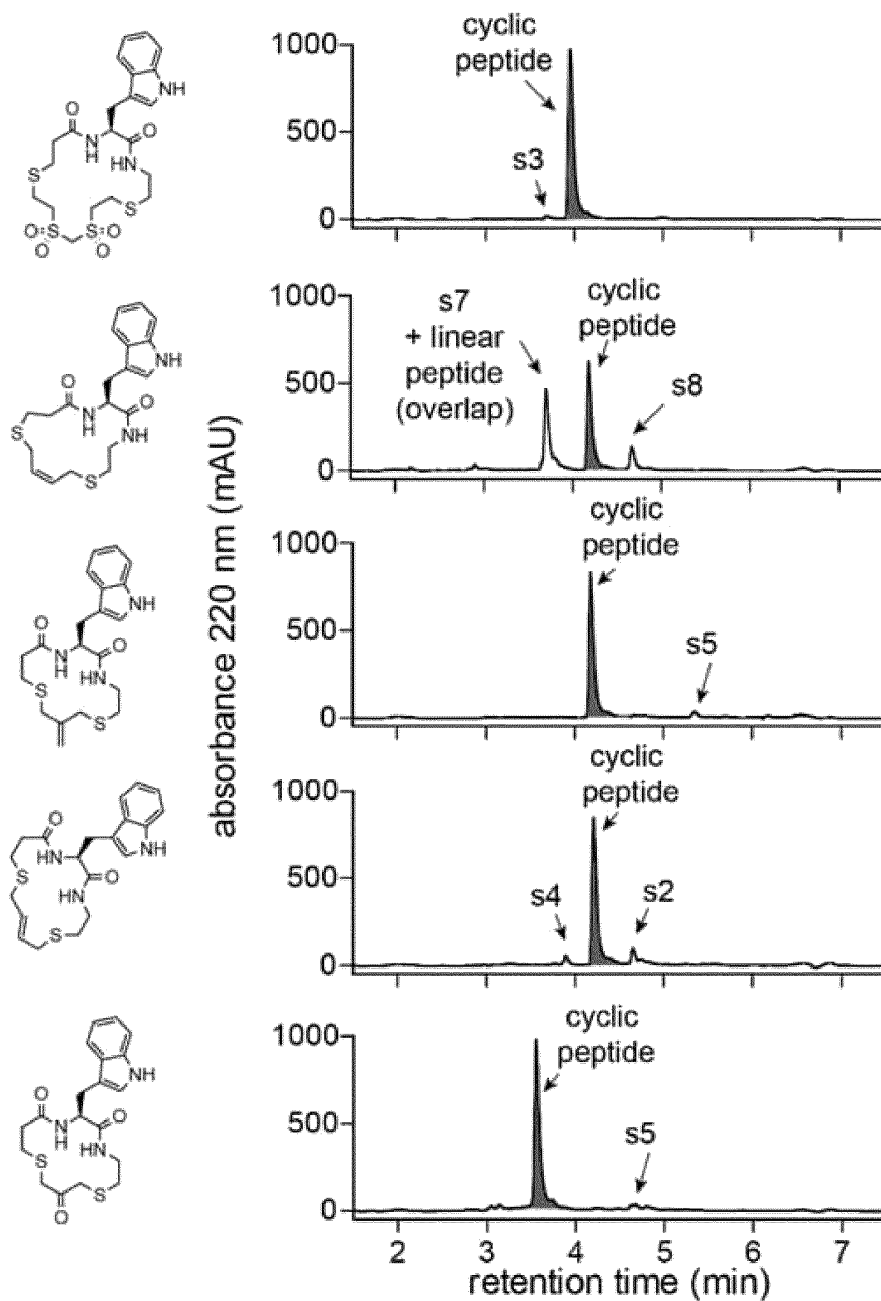
5/64

Figure 4 – continued

C

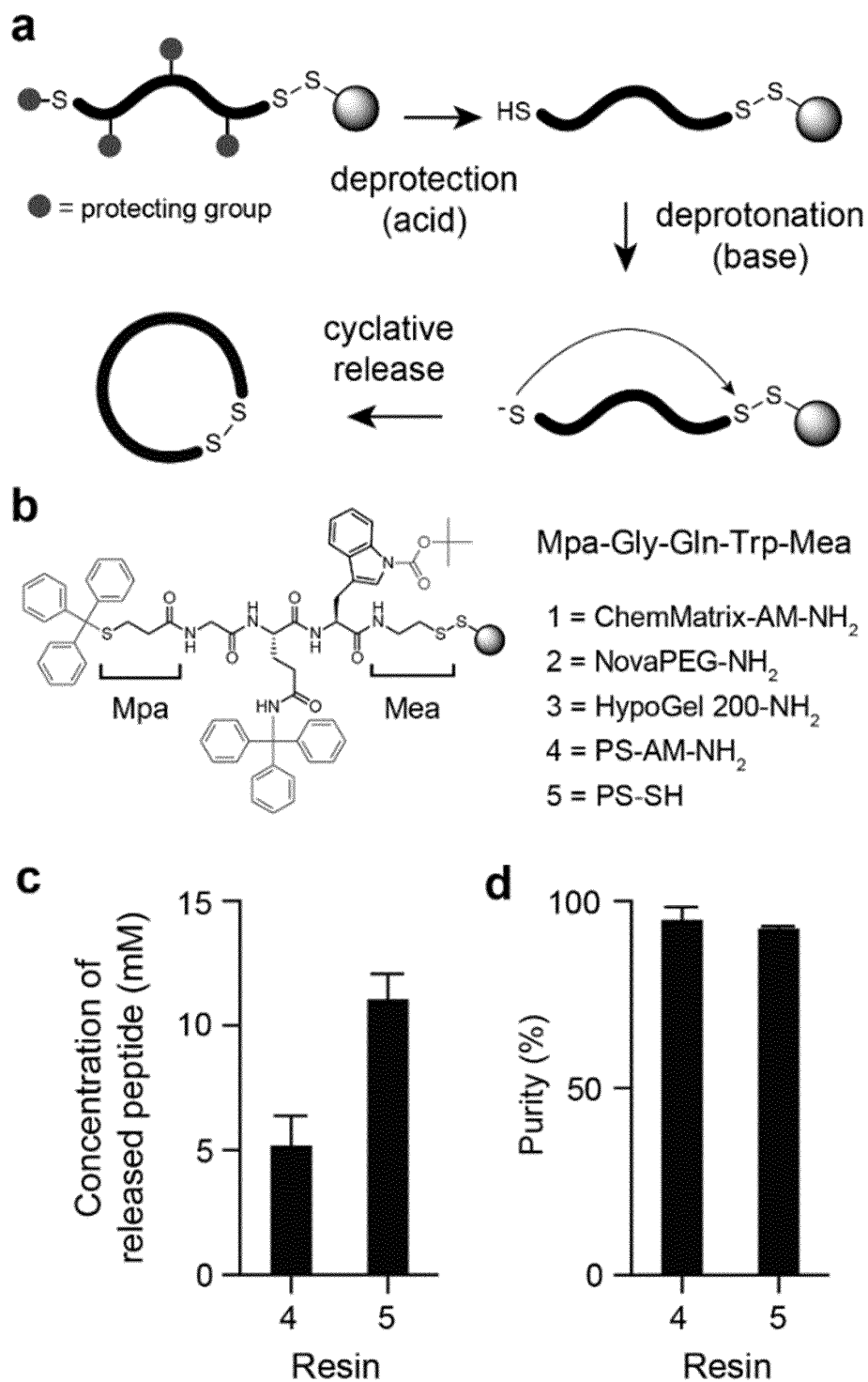
6/64

Figure 4c – continued



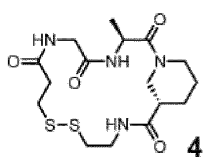
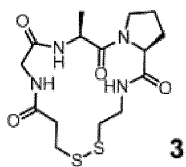
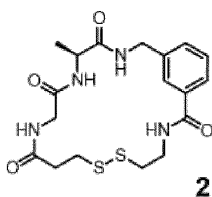
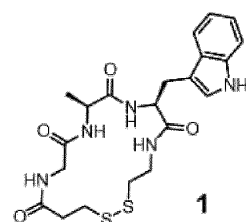
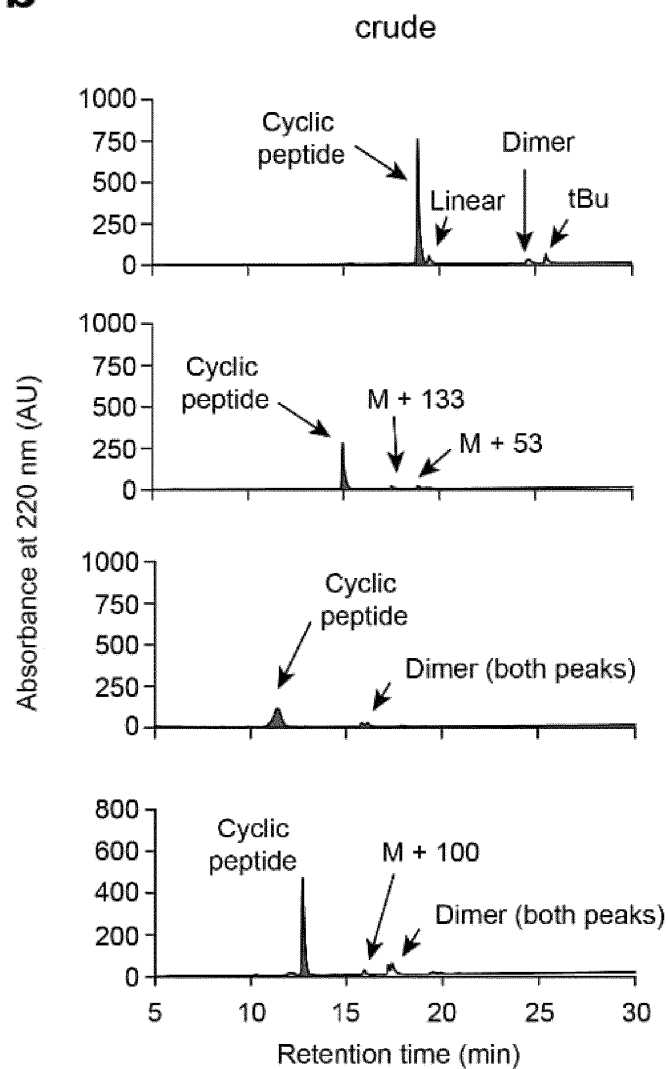
7/64

Figure 5



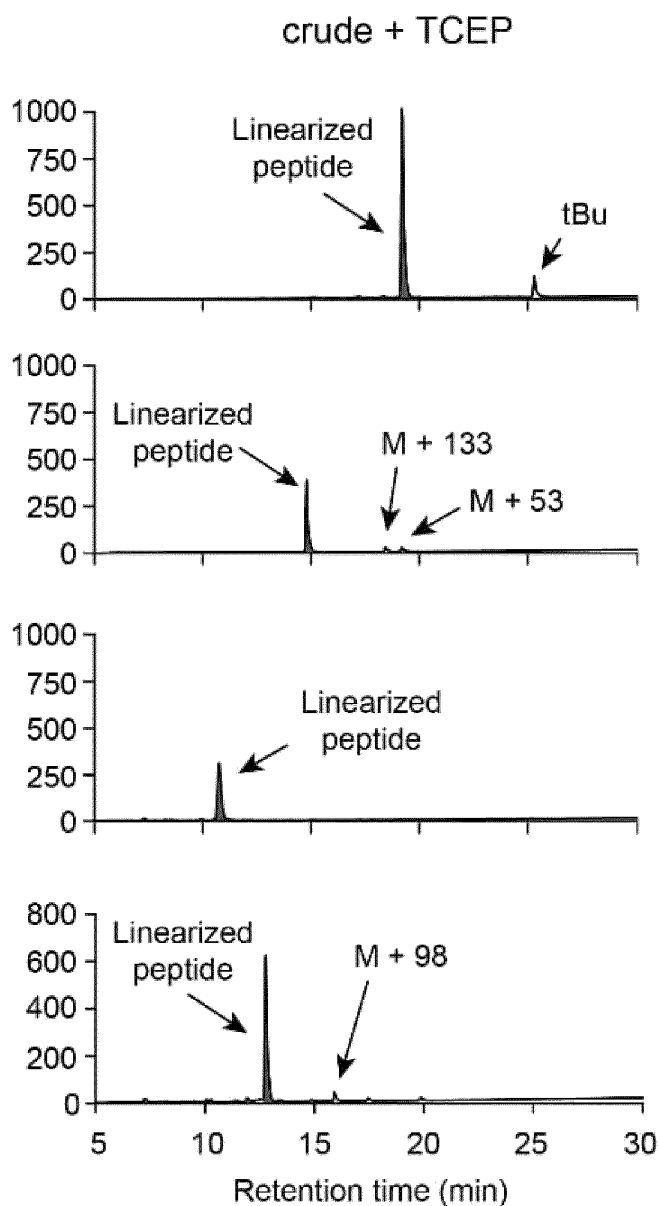
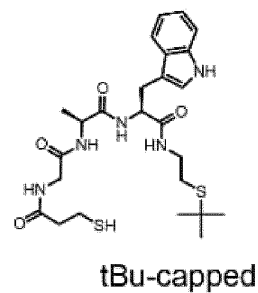
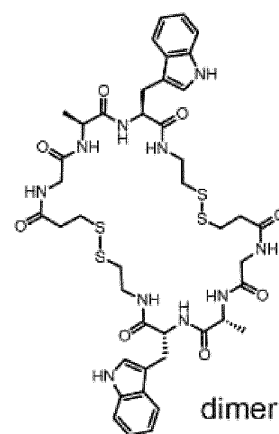
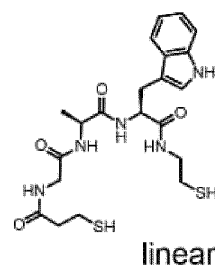
8/64

Figure 6

a**b**

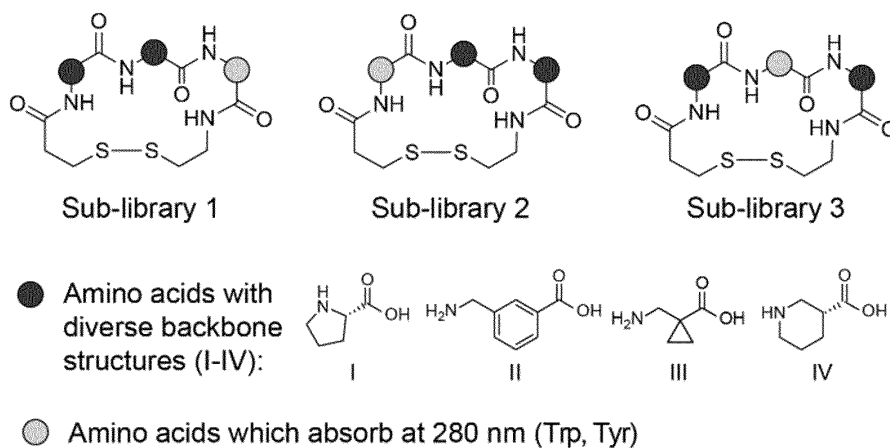
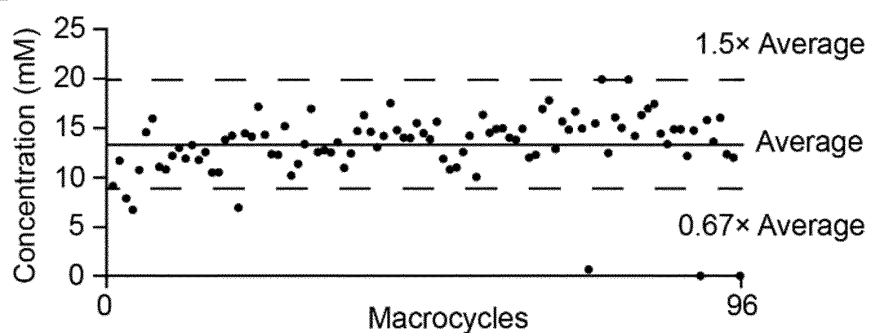
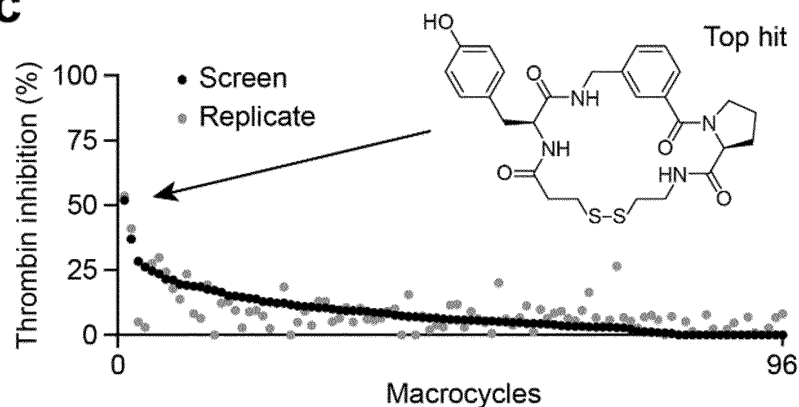
9/64

Figure 6 – continued

**C**

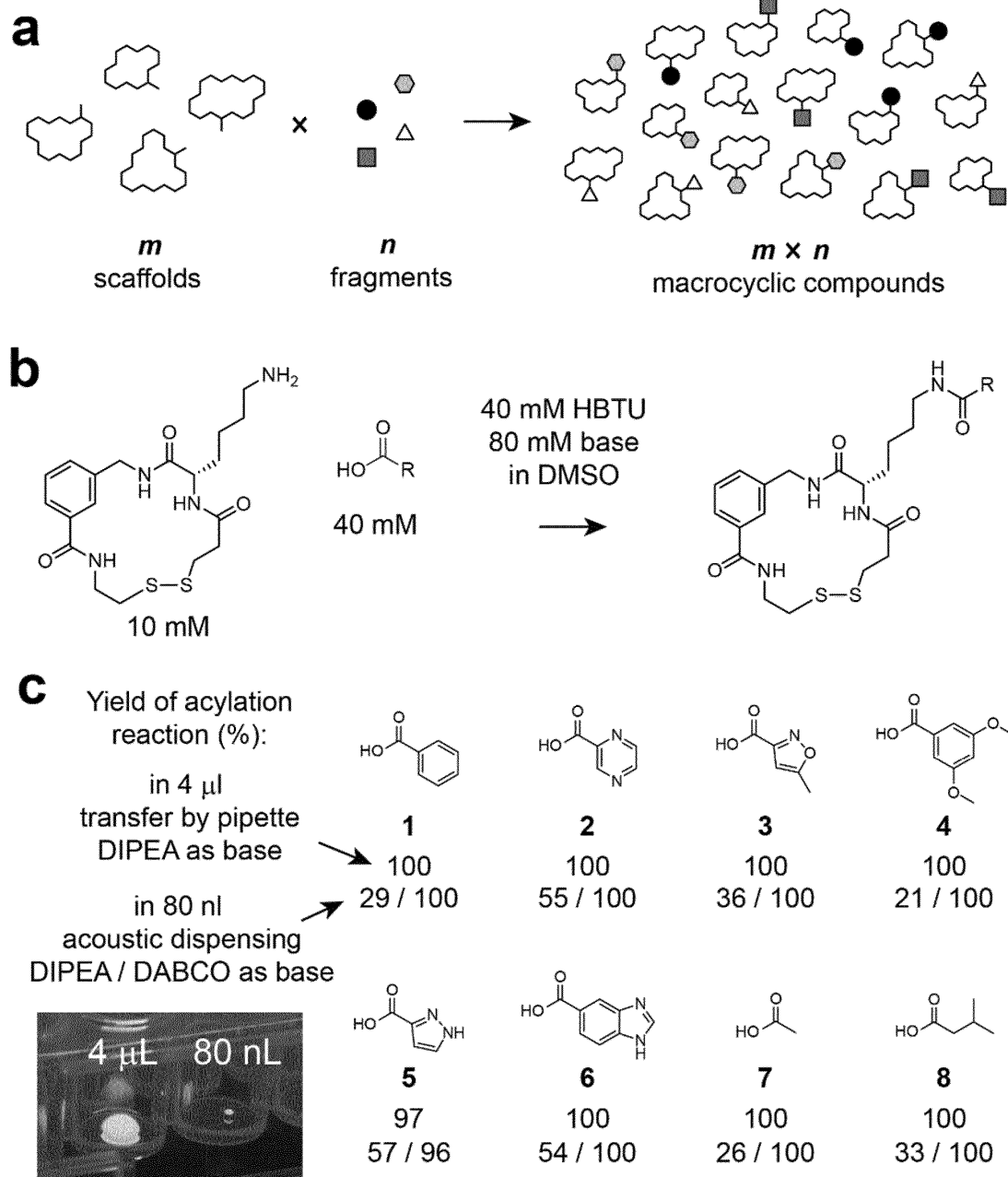
10/64

Figure 7

a**b****c**

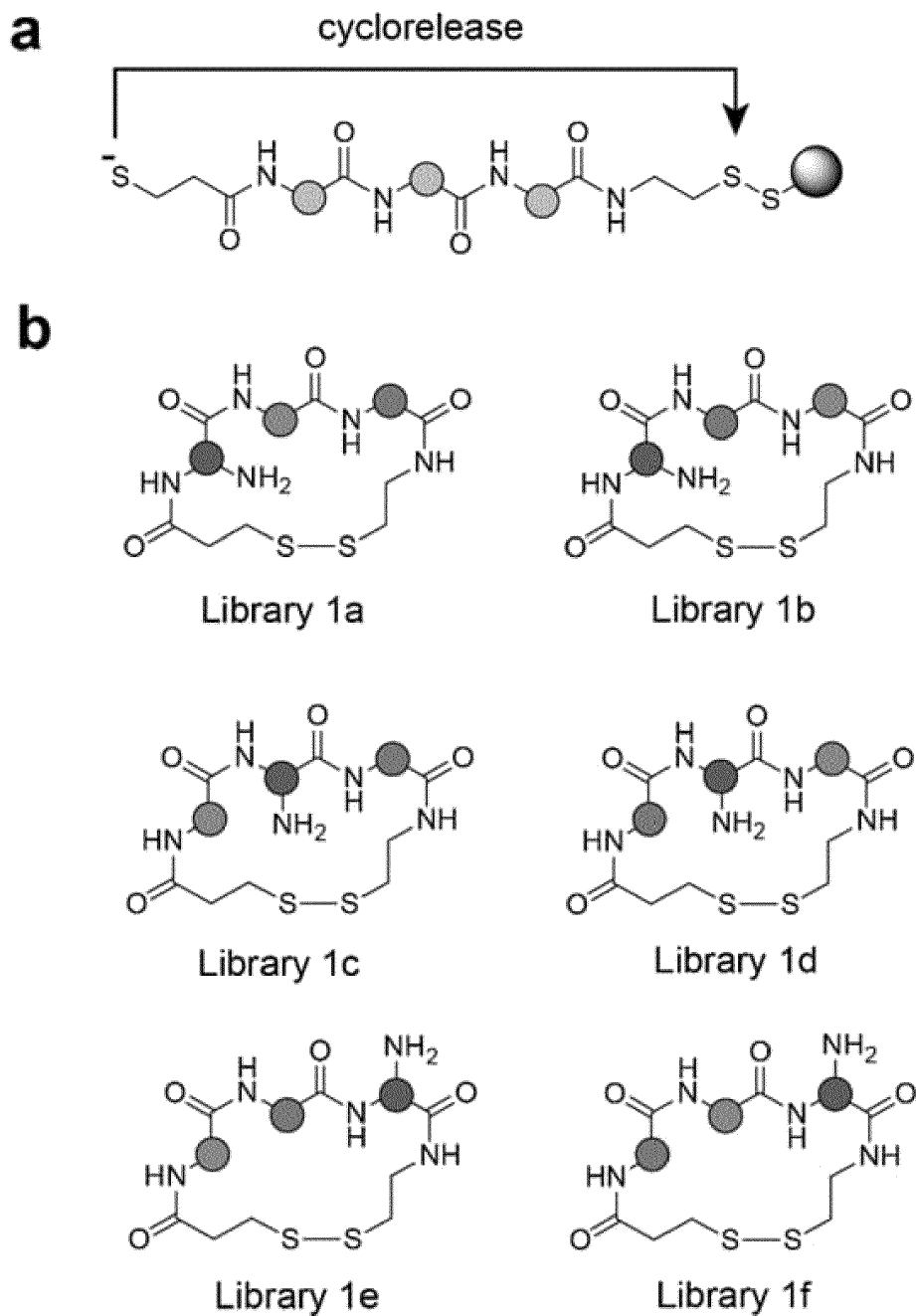
11/64

Figure 8



12/64

Figure 9



- Di-amino acids
- Amino acids with diverse side chains
- Amino acids with diverse backbone structures

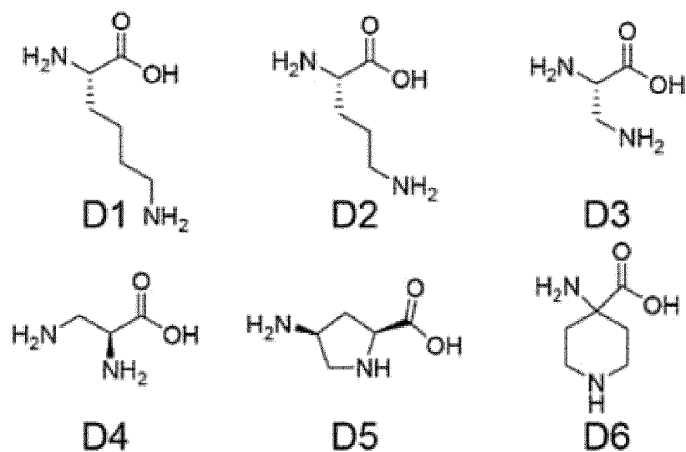
SUBSTITUTE SHEET (RULE 26)

13/64

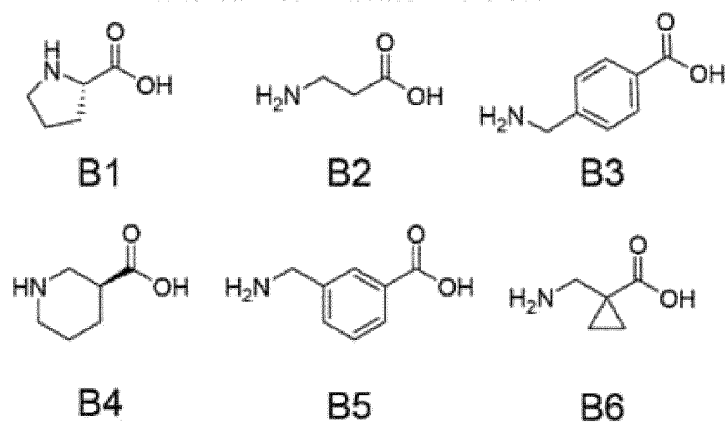
Figure 9 - continued

C

Di-amino acids:

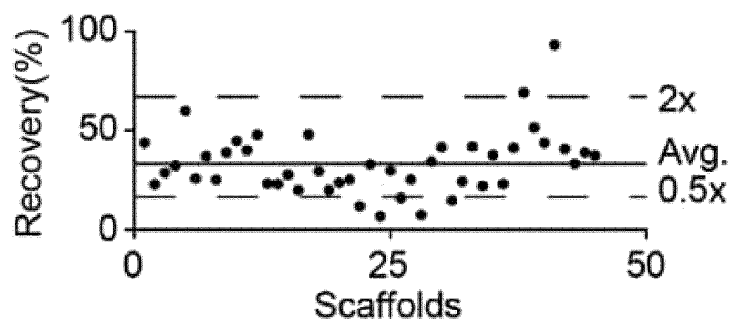


Backbone amino acids:



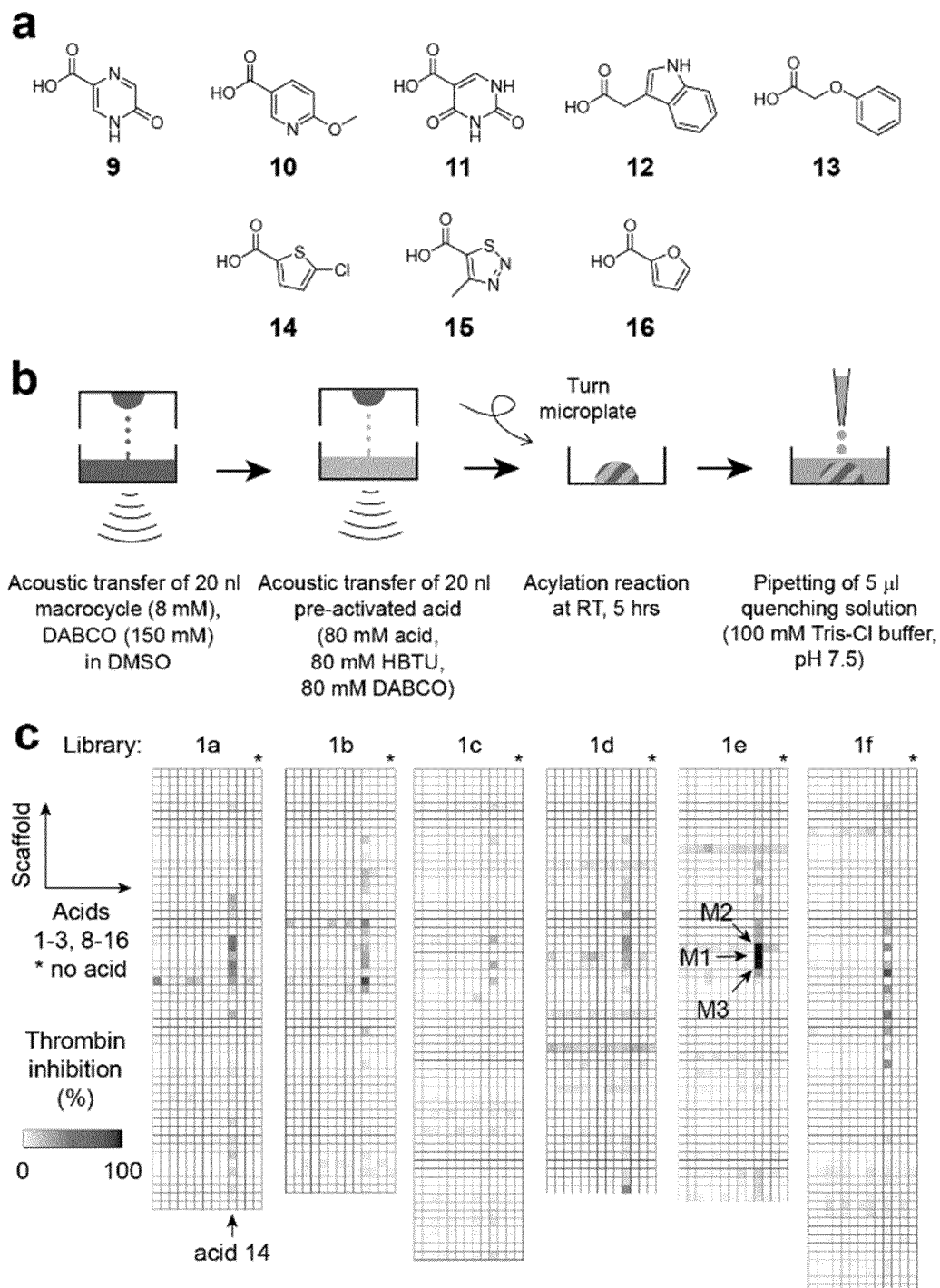
Sidechain amino acids:

F, H, I, L, Q, S, V, W, Y, a, f, s, v, w, y

d

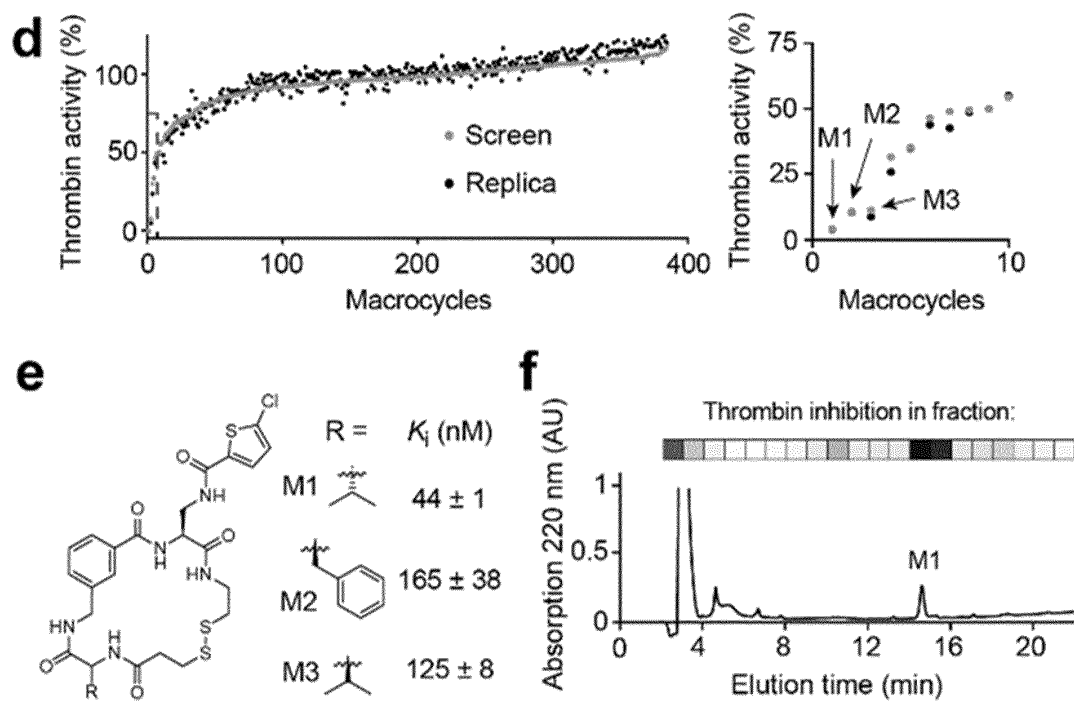
14/64

Figure 10



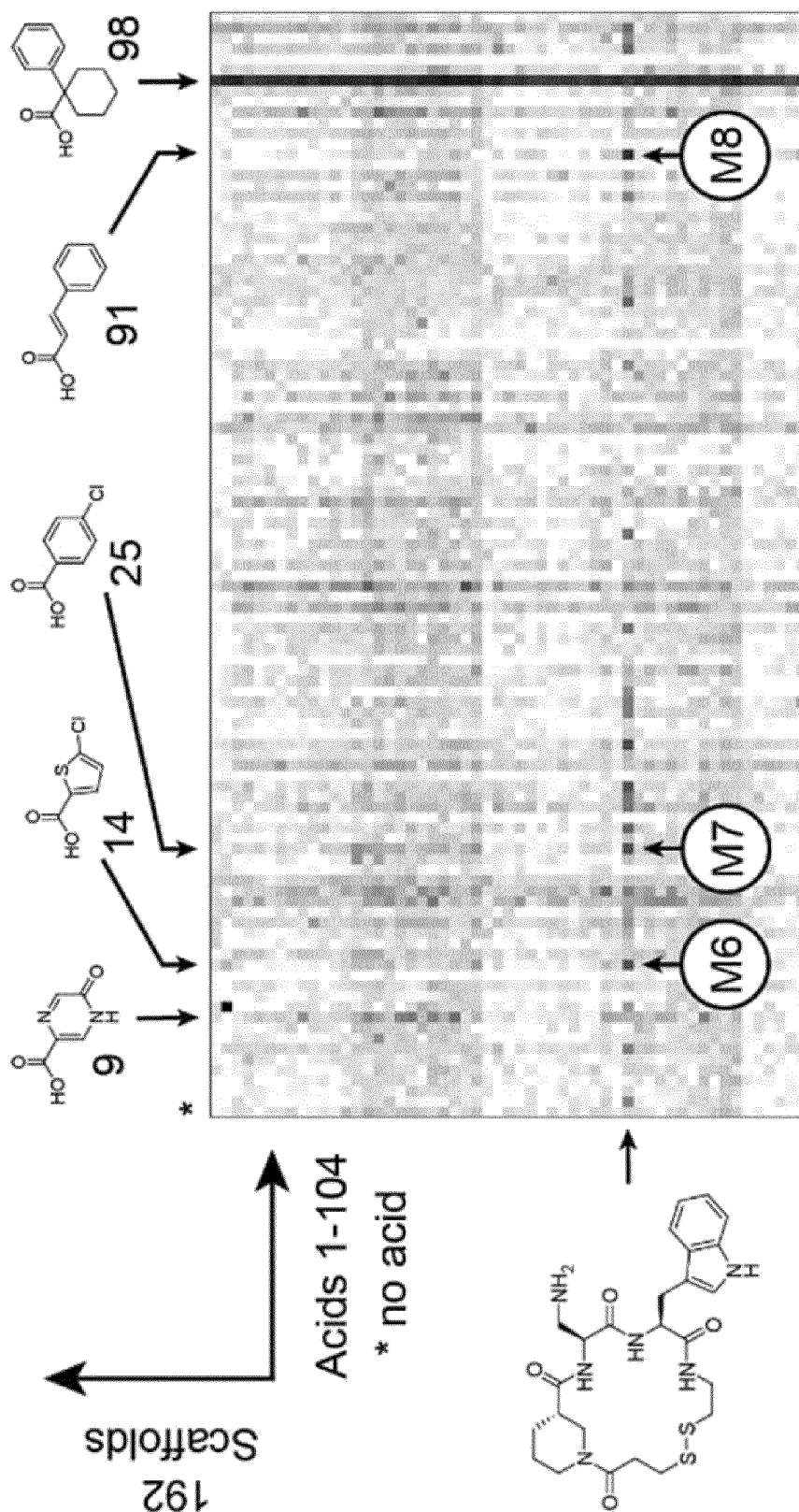
15/64

Figure 10 – continued



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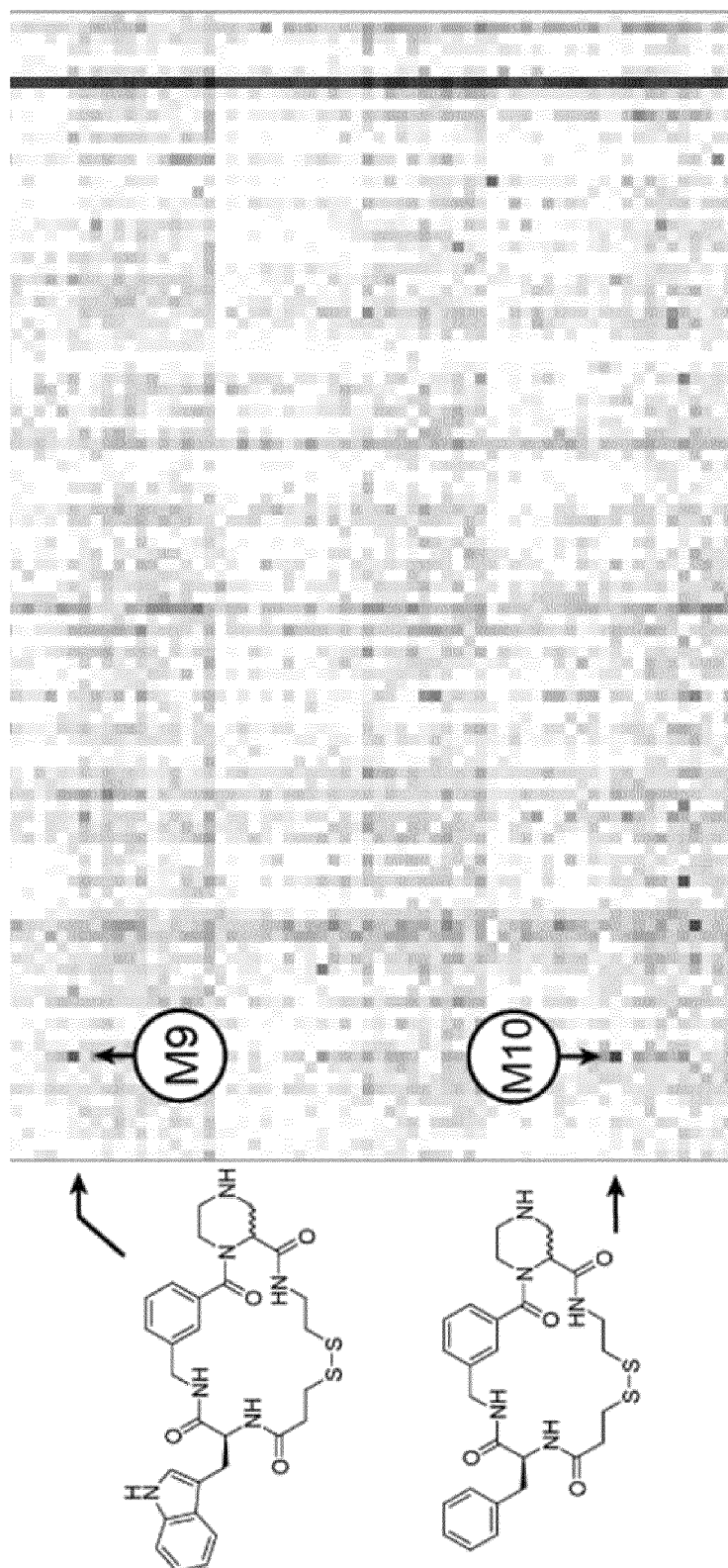
Figure 11



SUBSTITUTE SHEET (RULE 26)

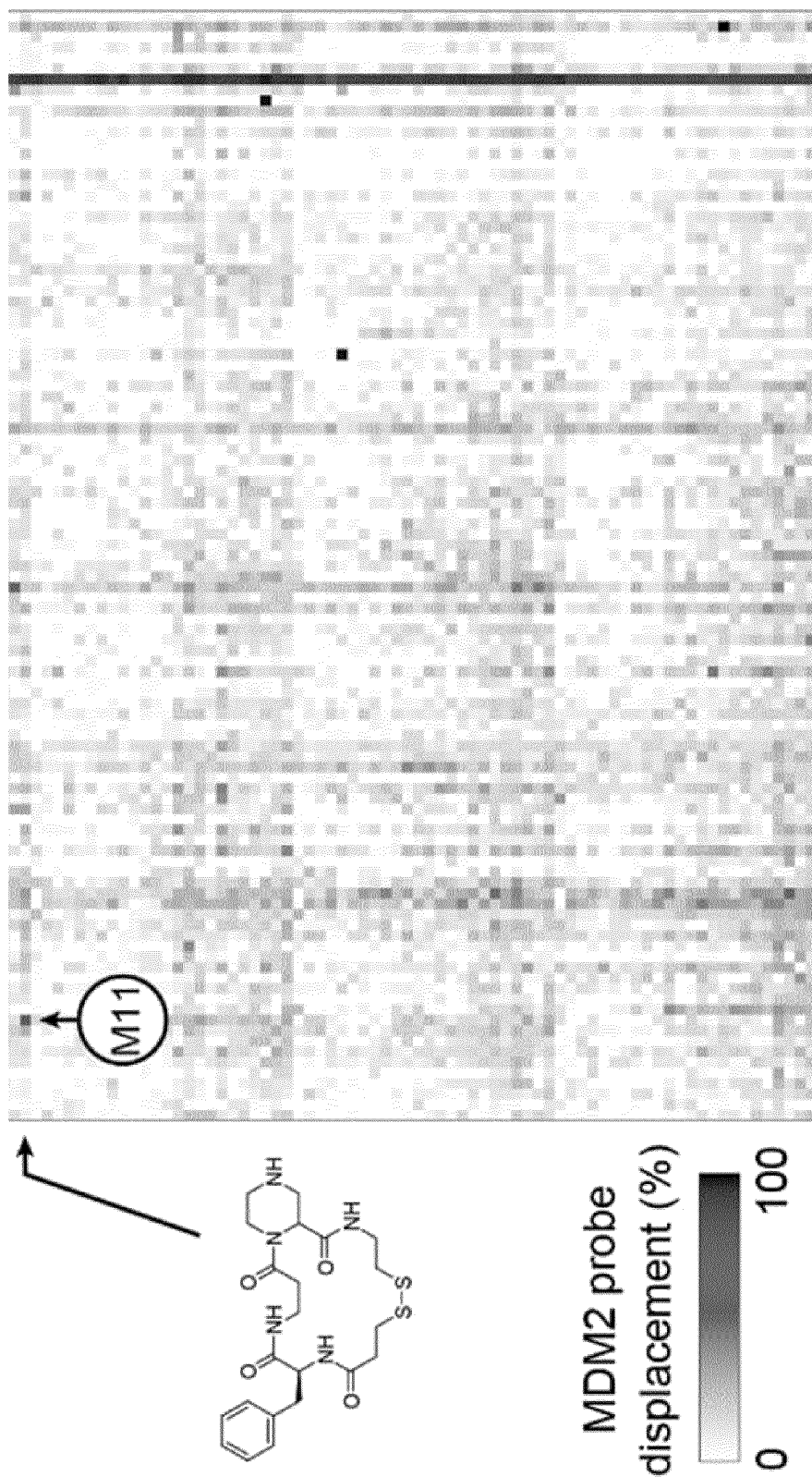
17/64

Figure 11 - continued



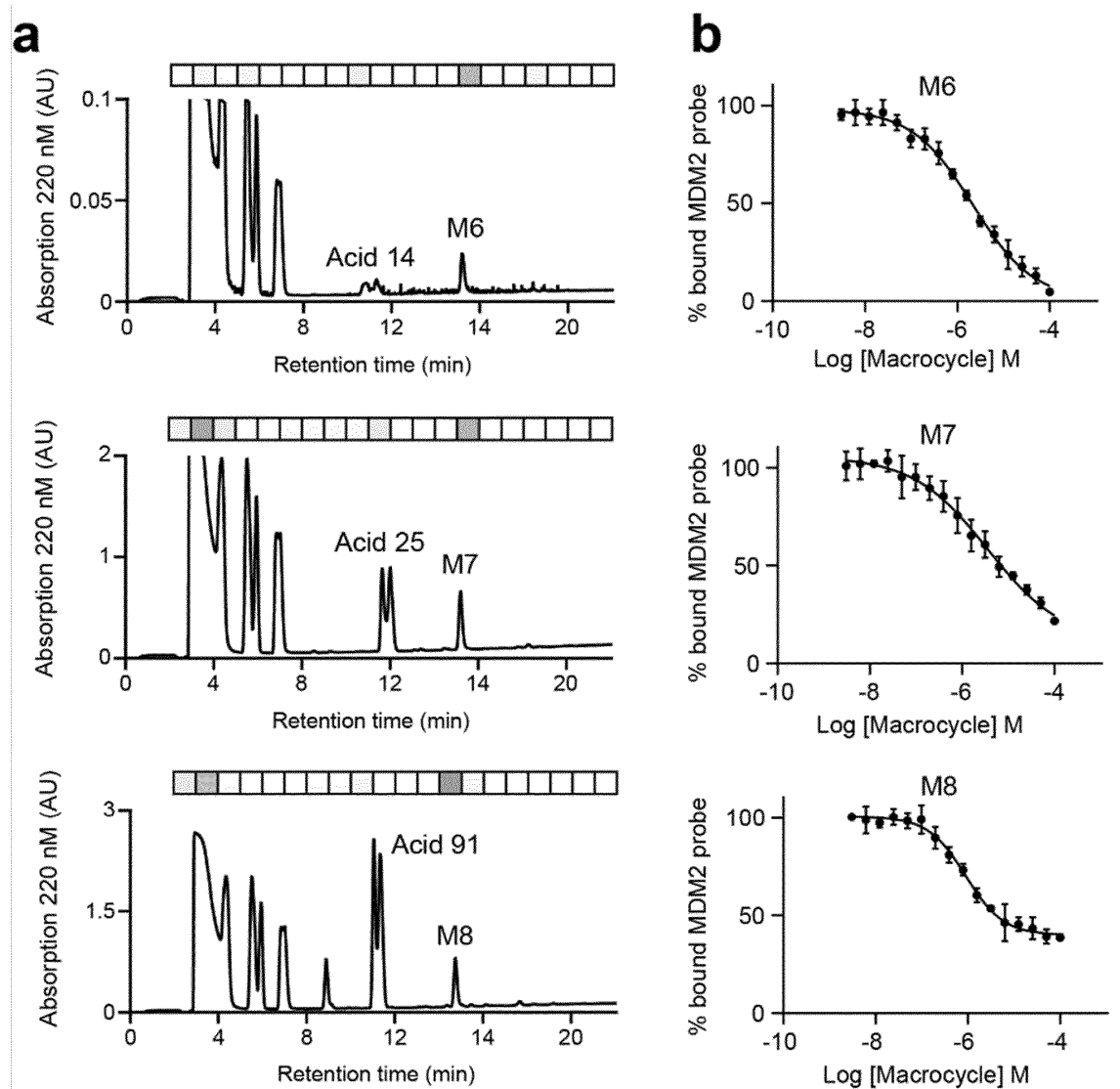
SUBSTITUTE SHEET (RULE 26)

Figure 11 - continued



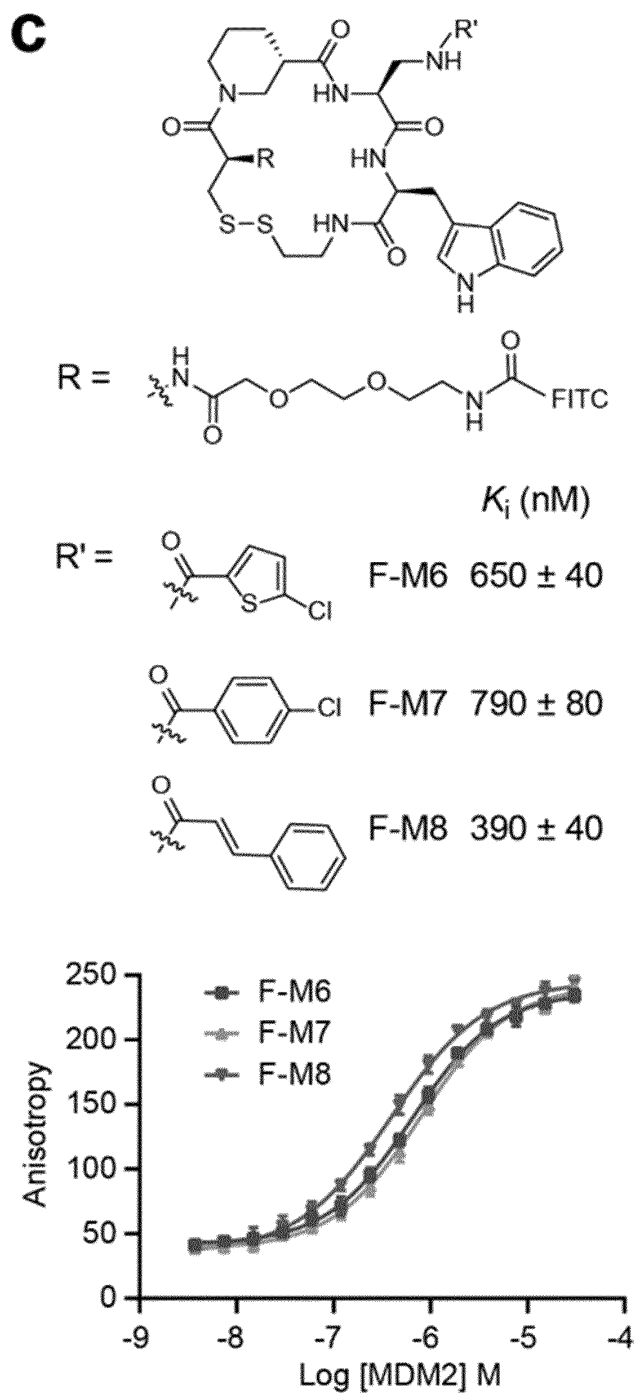
19/64

Figure 12



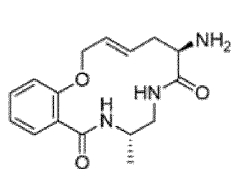
20/64

Figure 12 – continued

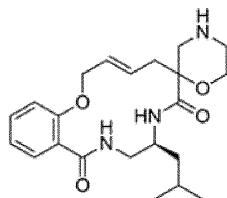


21/64

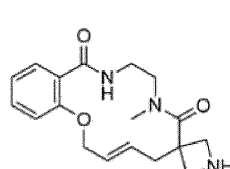
Figure 13

a

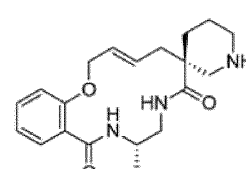
Macrocycle 1



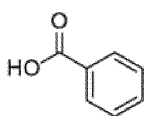
Macrocycle 2



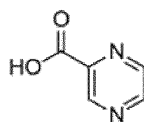
Macrocycle 3



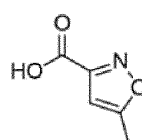
Macrocycle 4

b

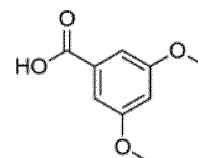
Acid 1



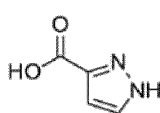
Acid 2



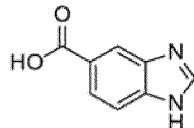
Acid 3



Acid 4



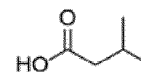
Acid 5



Acid 6



Acid 7



Acid 8

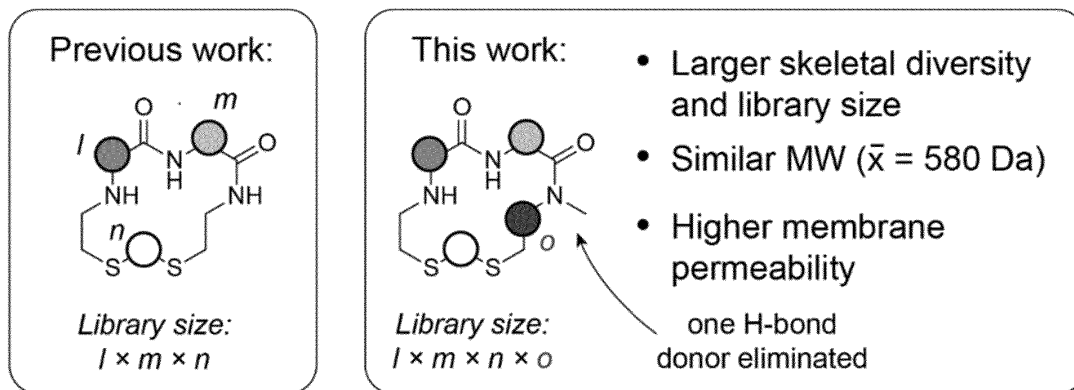
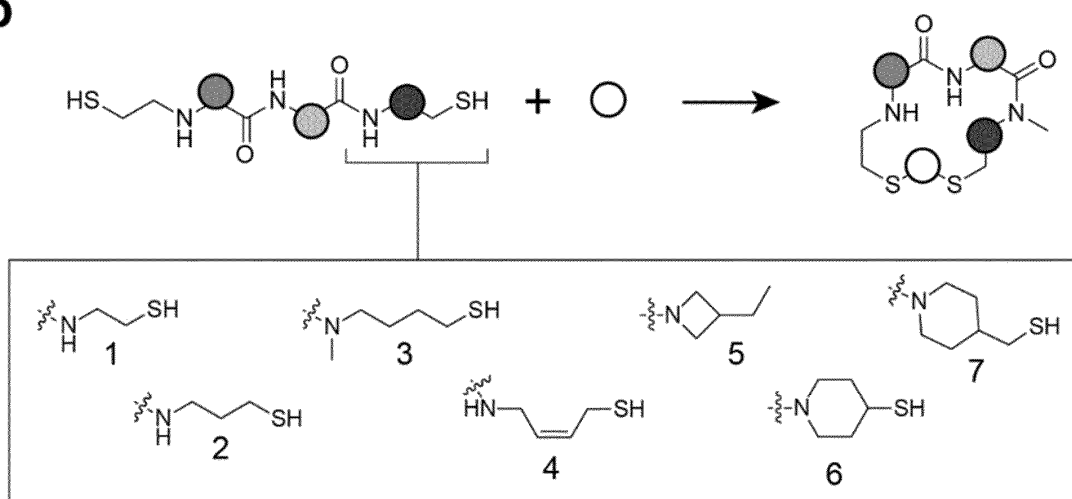
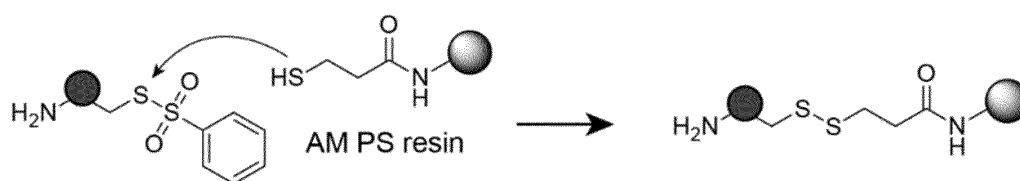
c

	Acid 1	Acid 2	Acid 3	Acid 4	Acid 5	Acid 6	Acid 7	Acid 8
Macrocycle 1	100	100	100	100	100	100	100	100
Macrocycle 2	100	100	100	100	100	100	100	100
Macrocycle 3	100	93	69	100	100	100	100	100
Macrocycle 4	100	100	100	100	100	100	100	100

% conversion as determined by LCMS, AUC of peaks at 220 nm absorbance

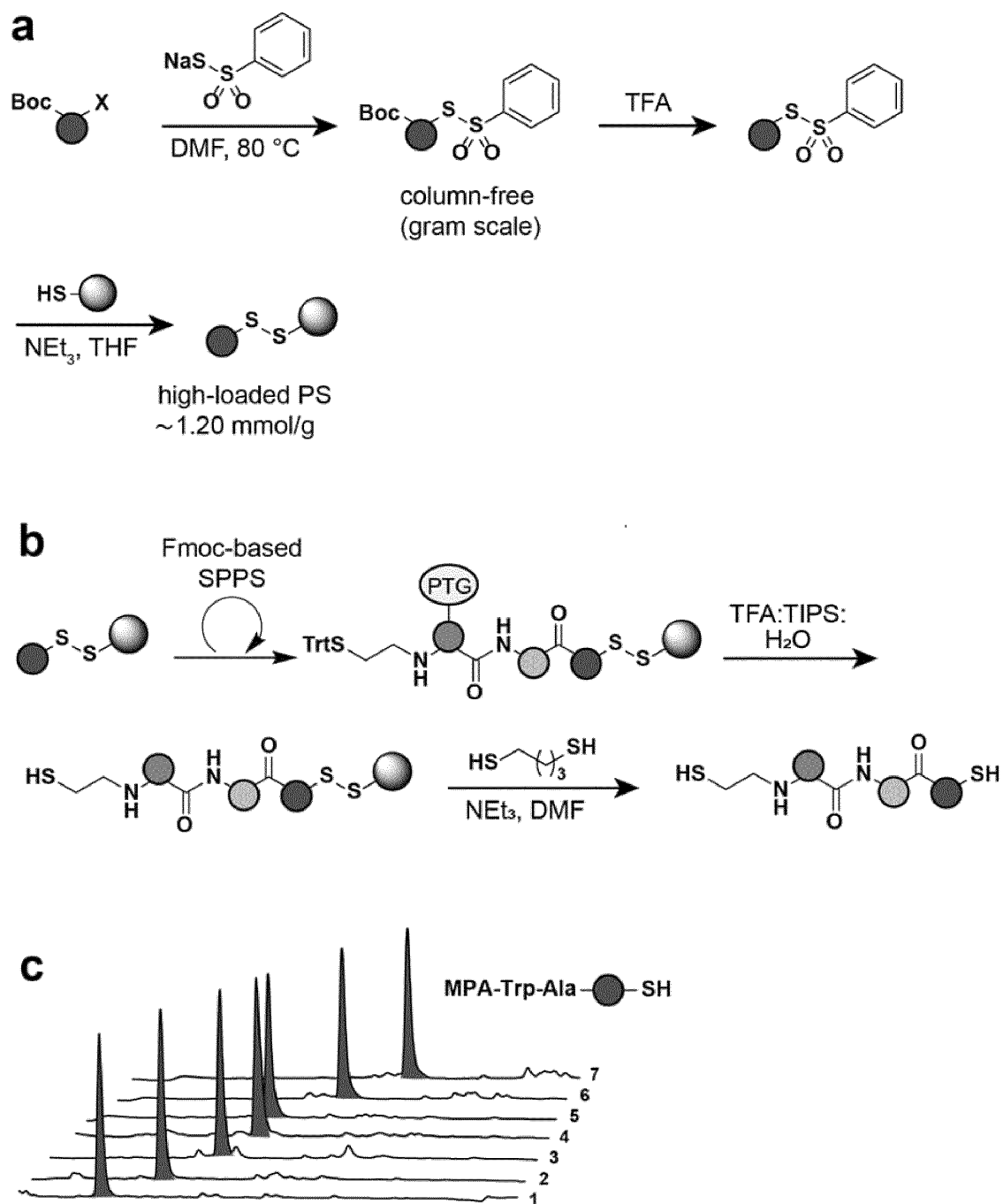
22/64

Figure 14

a**b****c**

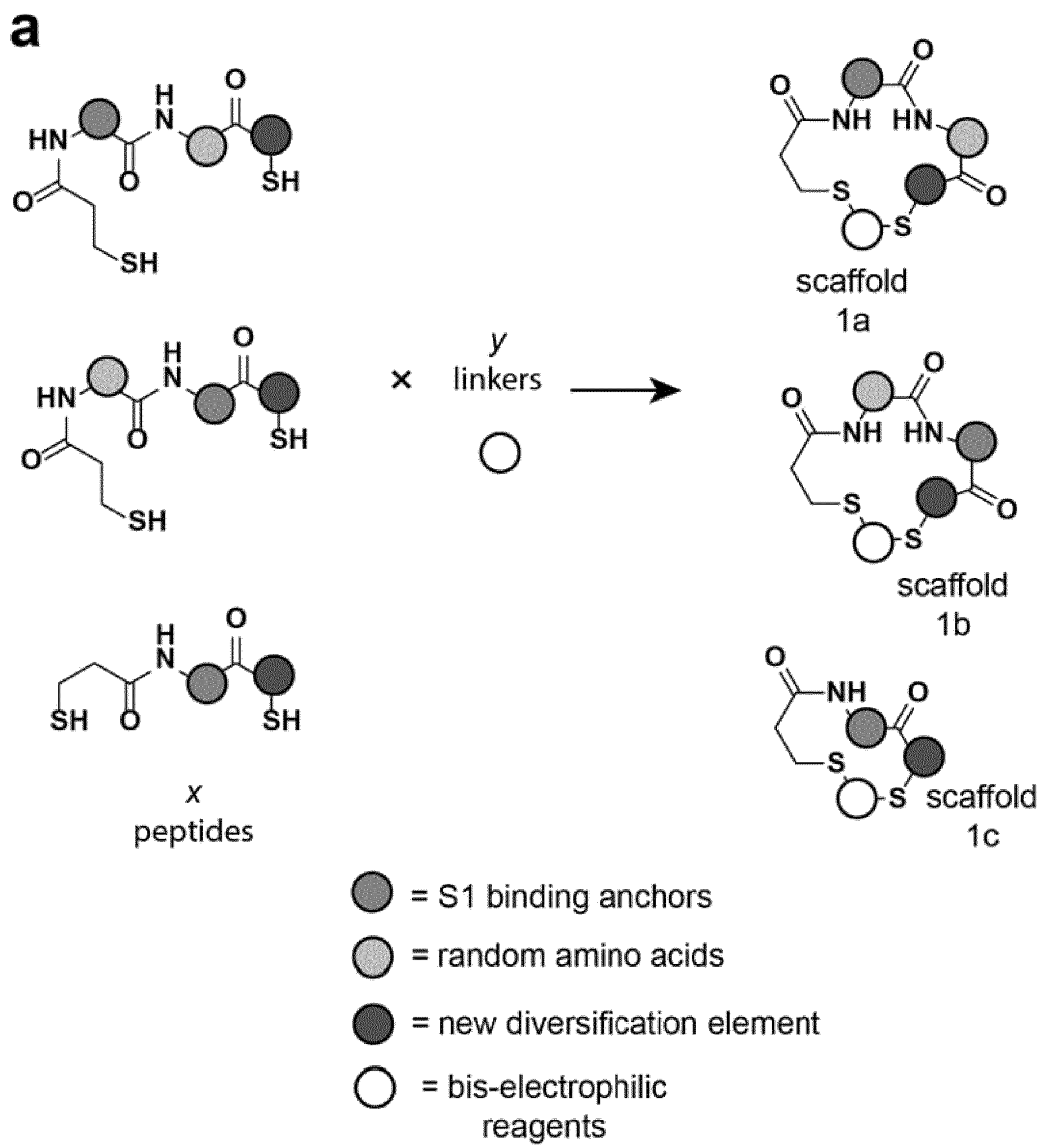
23/64

Figure 15



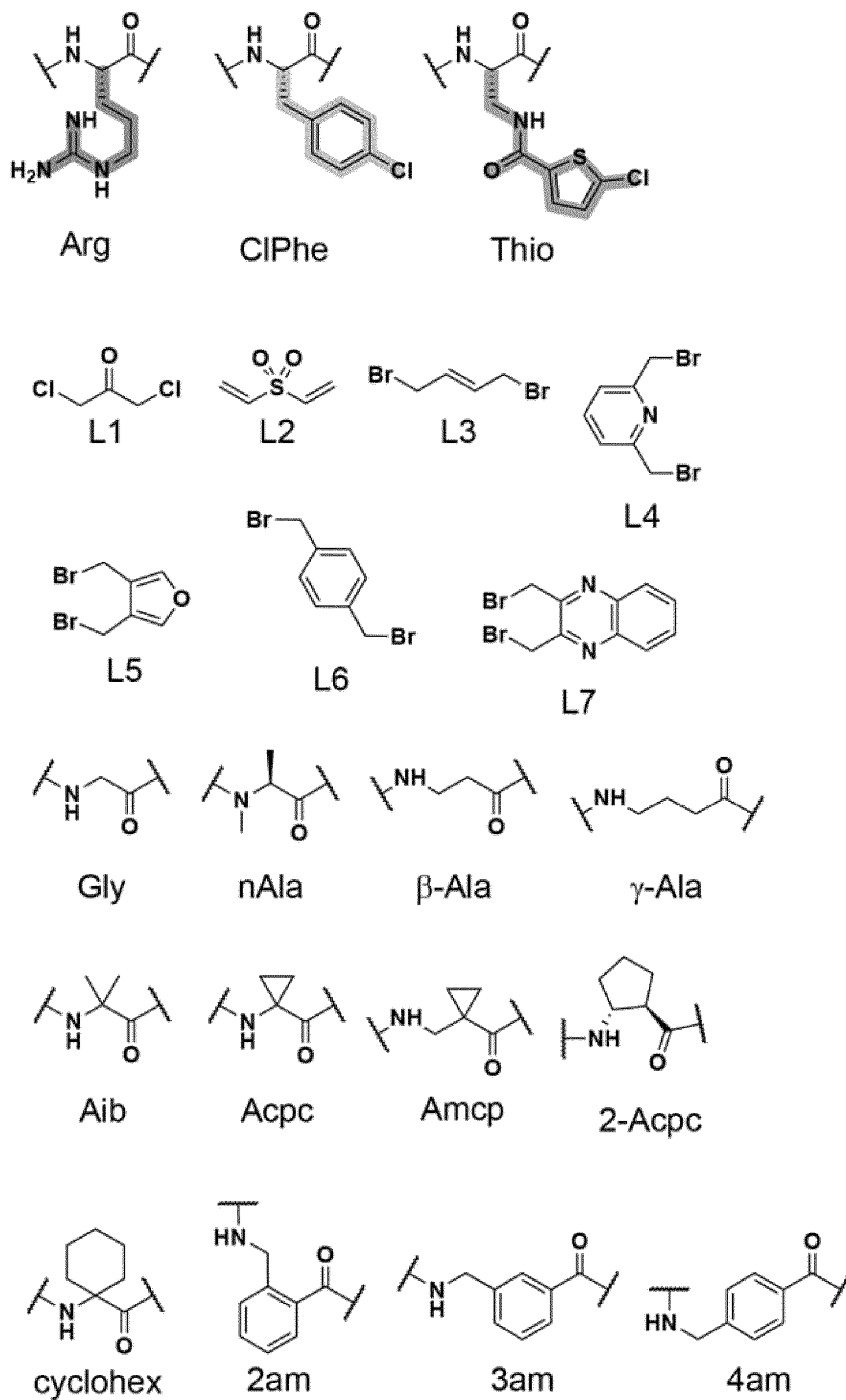
24/64

Figure 16



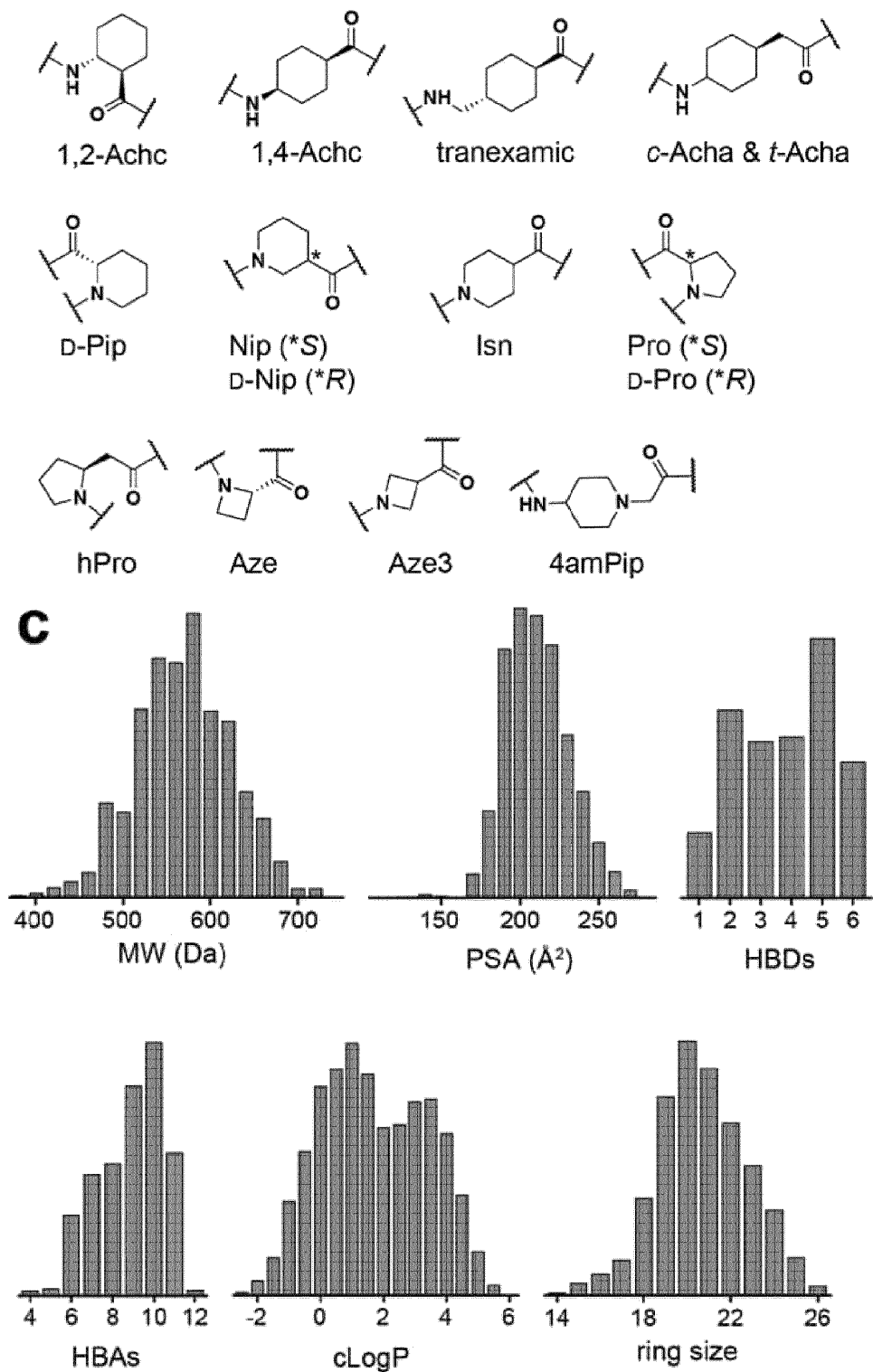
25/64

Figure 16 - continued

b

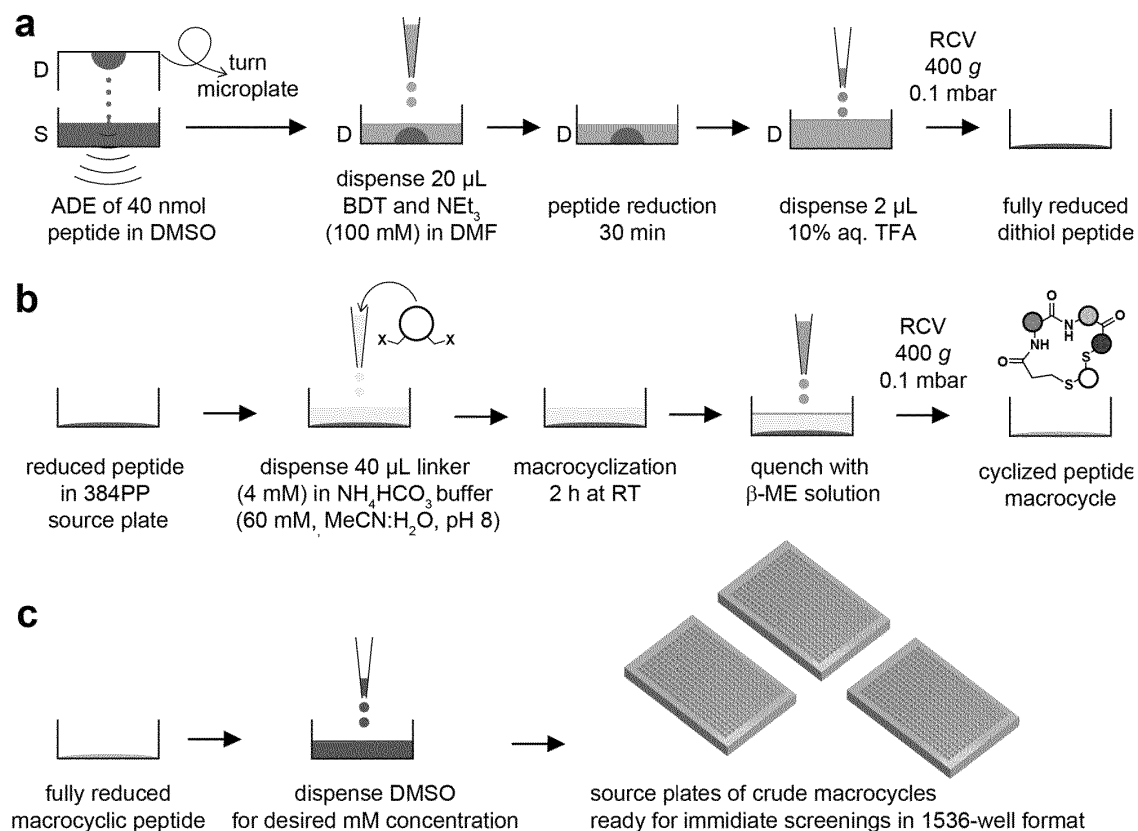
26/64

Figure 16 - continued



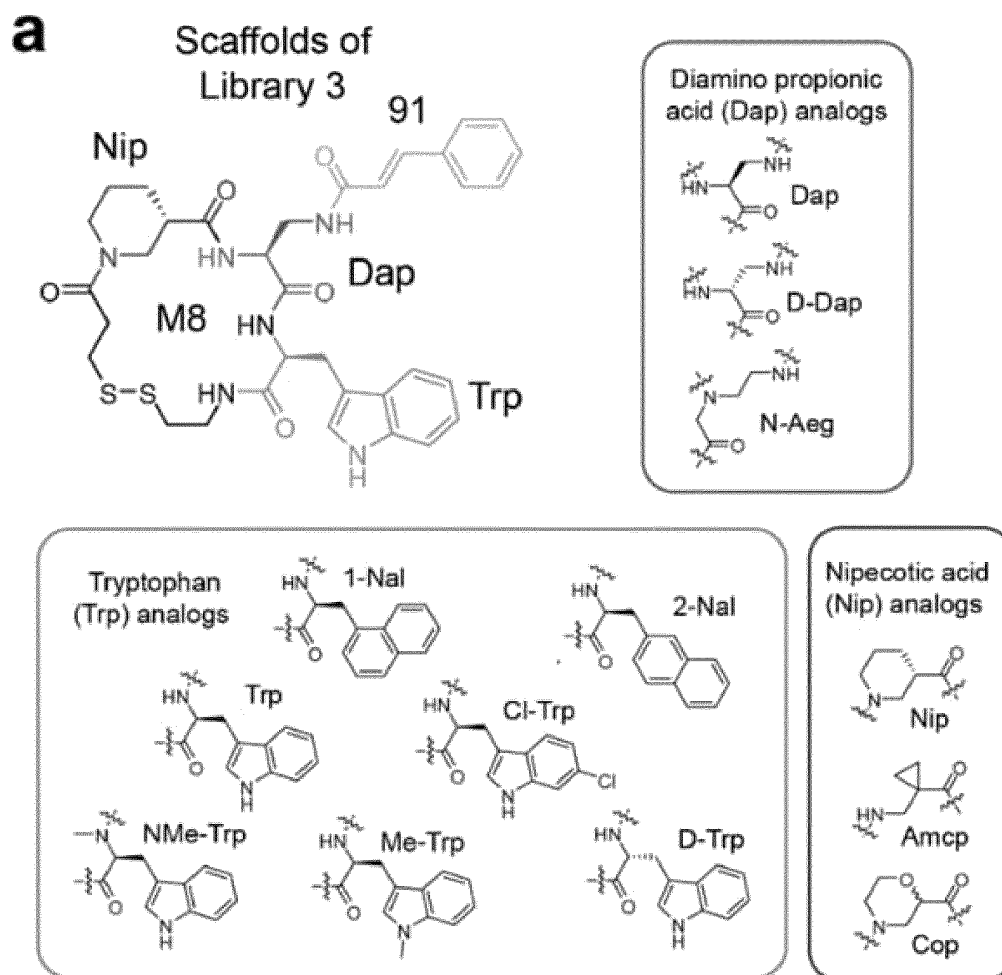
27/64

Figure 17



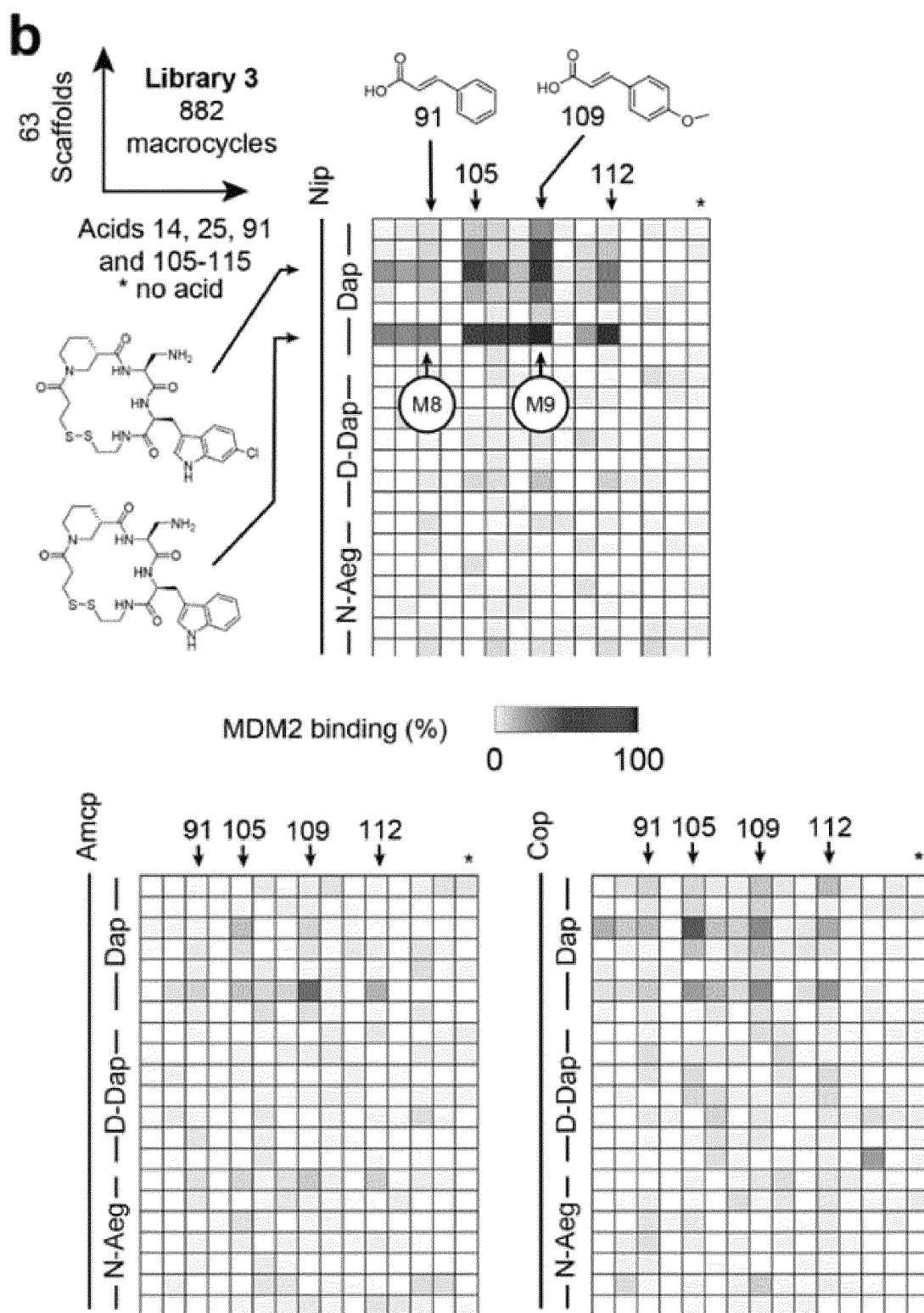
28/64

Figure 18



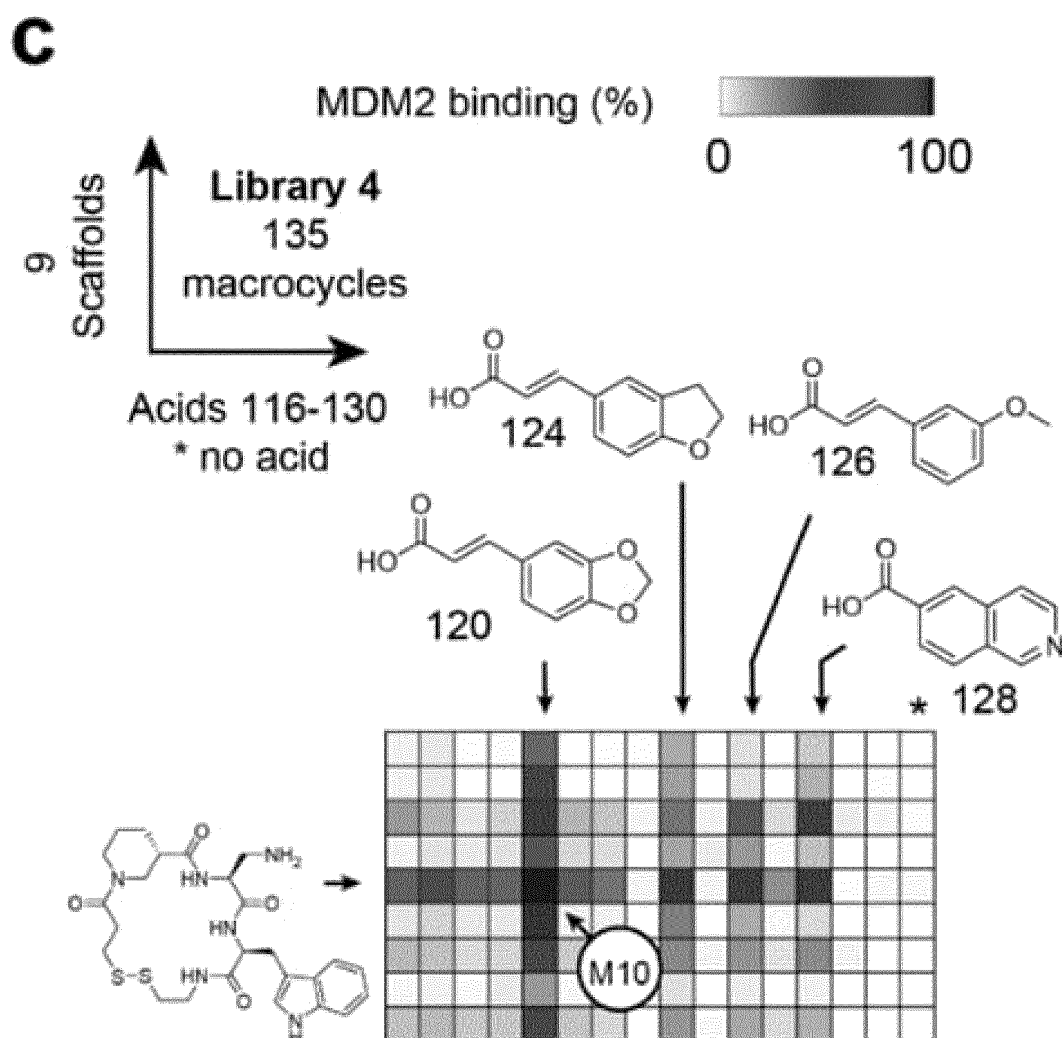
29/64

Figure 18 – continued



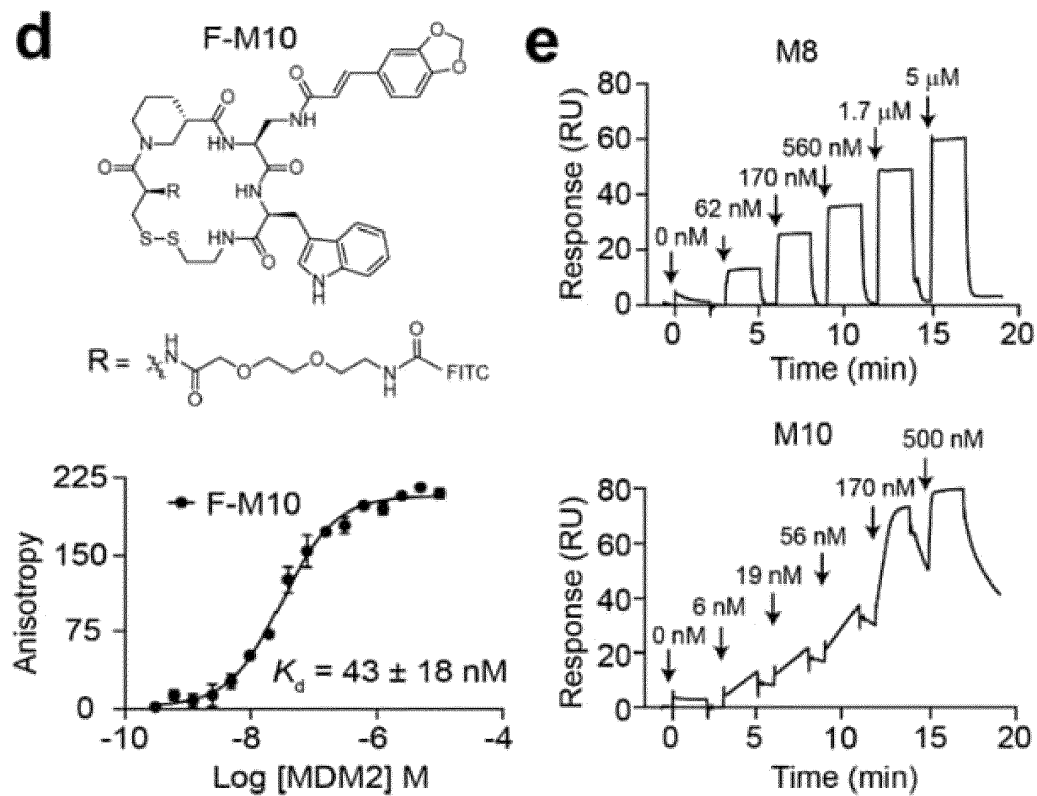
30/64

Figure 18 – continued



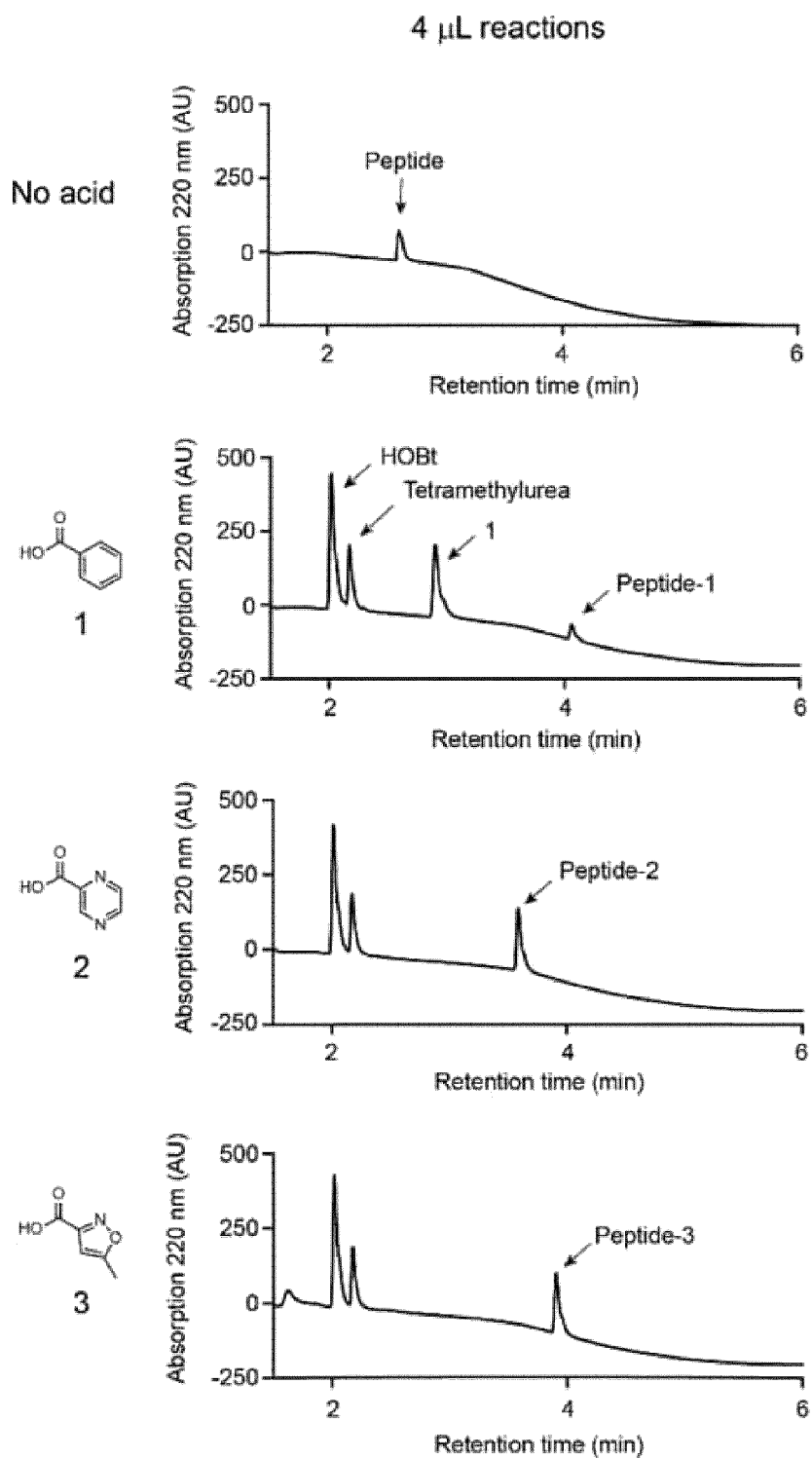
31/64

Figure 18 – continued



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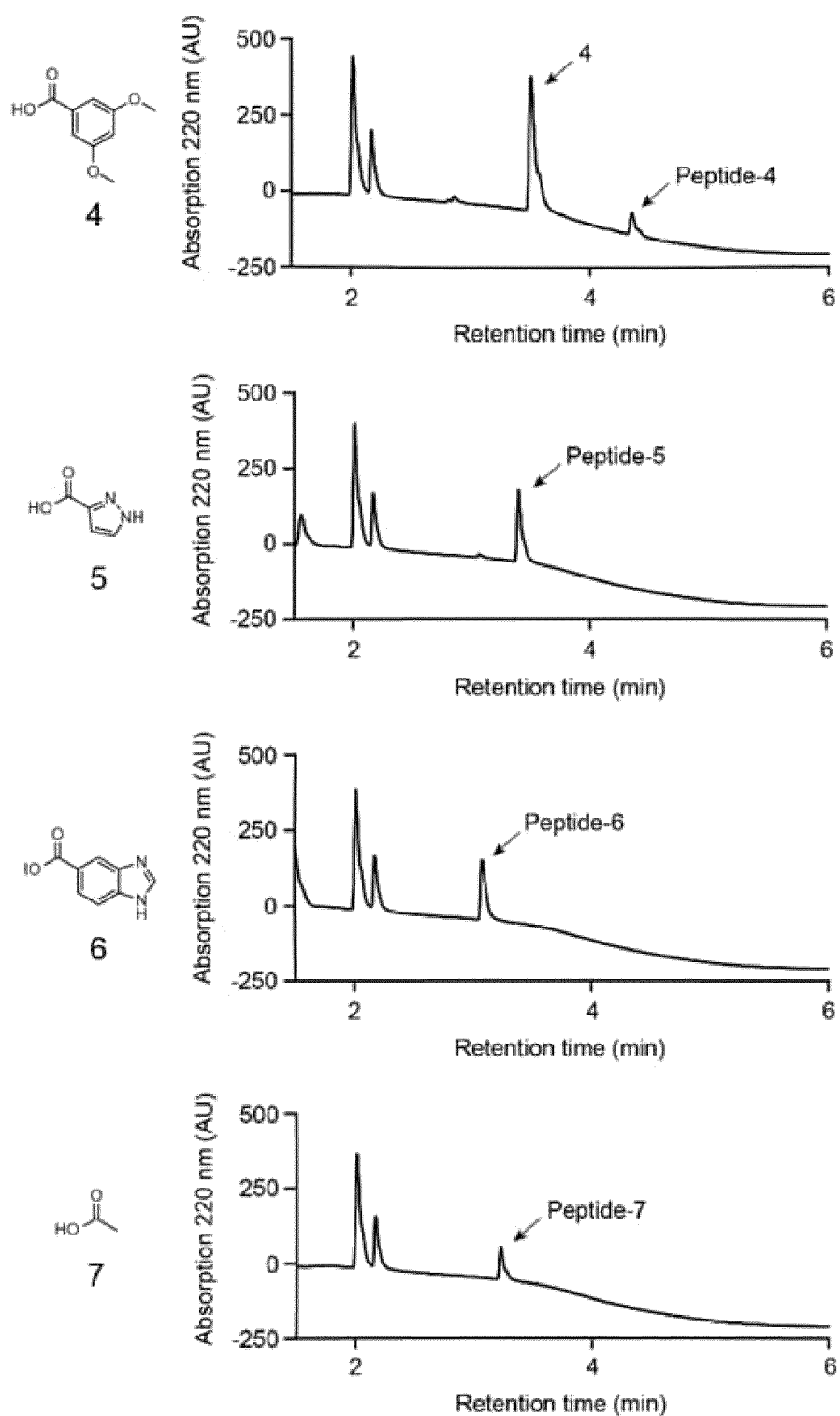
Figure 19



SUBSTITUTE SHEET (RULE 26)

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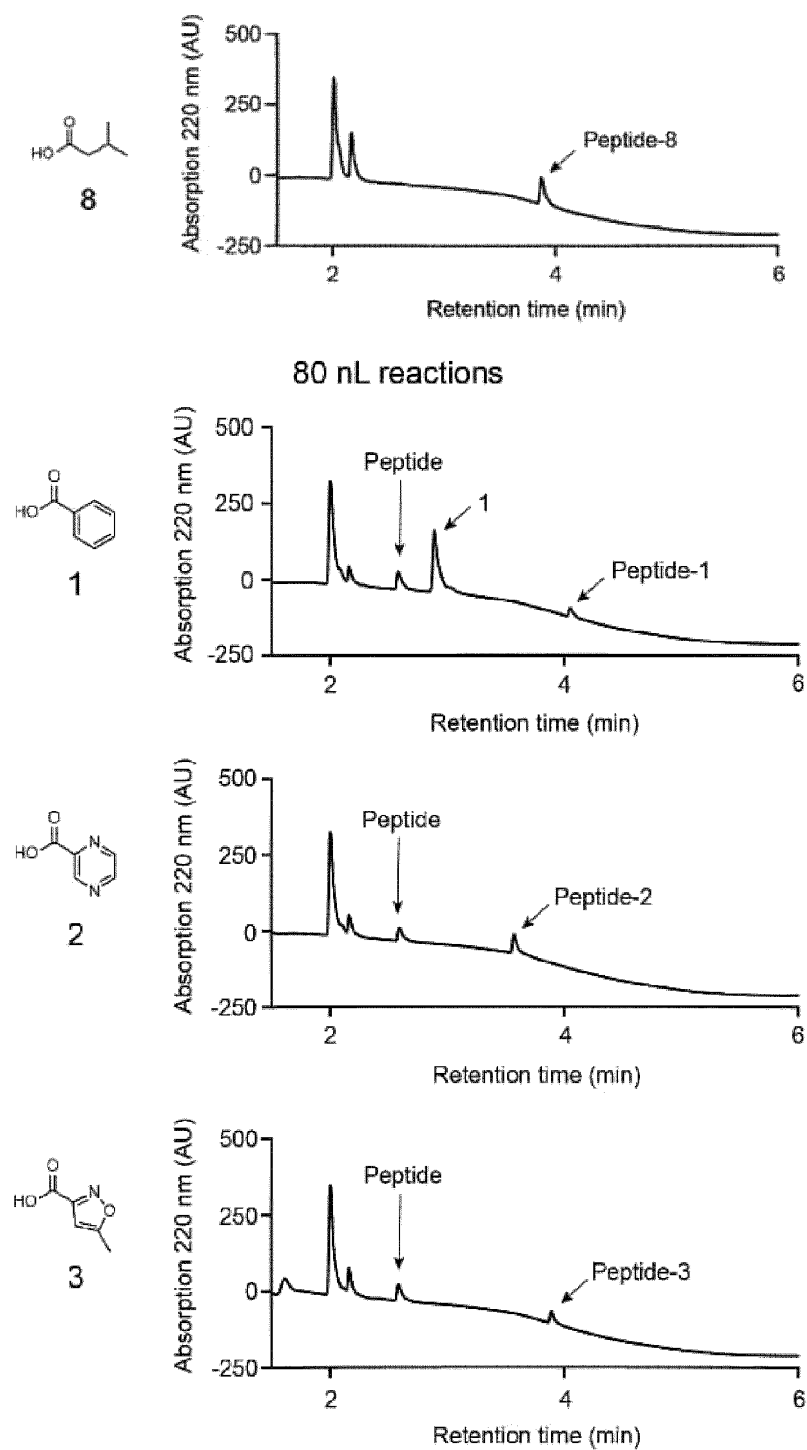
Figure 19 - continued



SUBSTITUTE SHEET (RULE 26)

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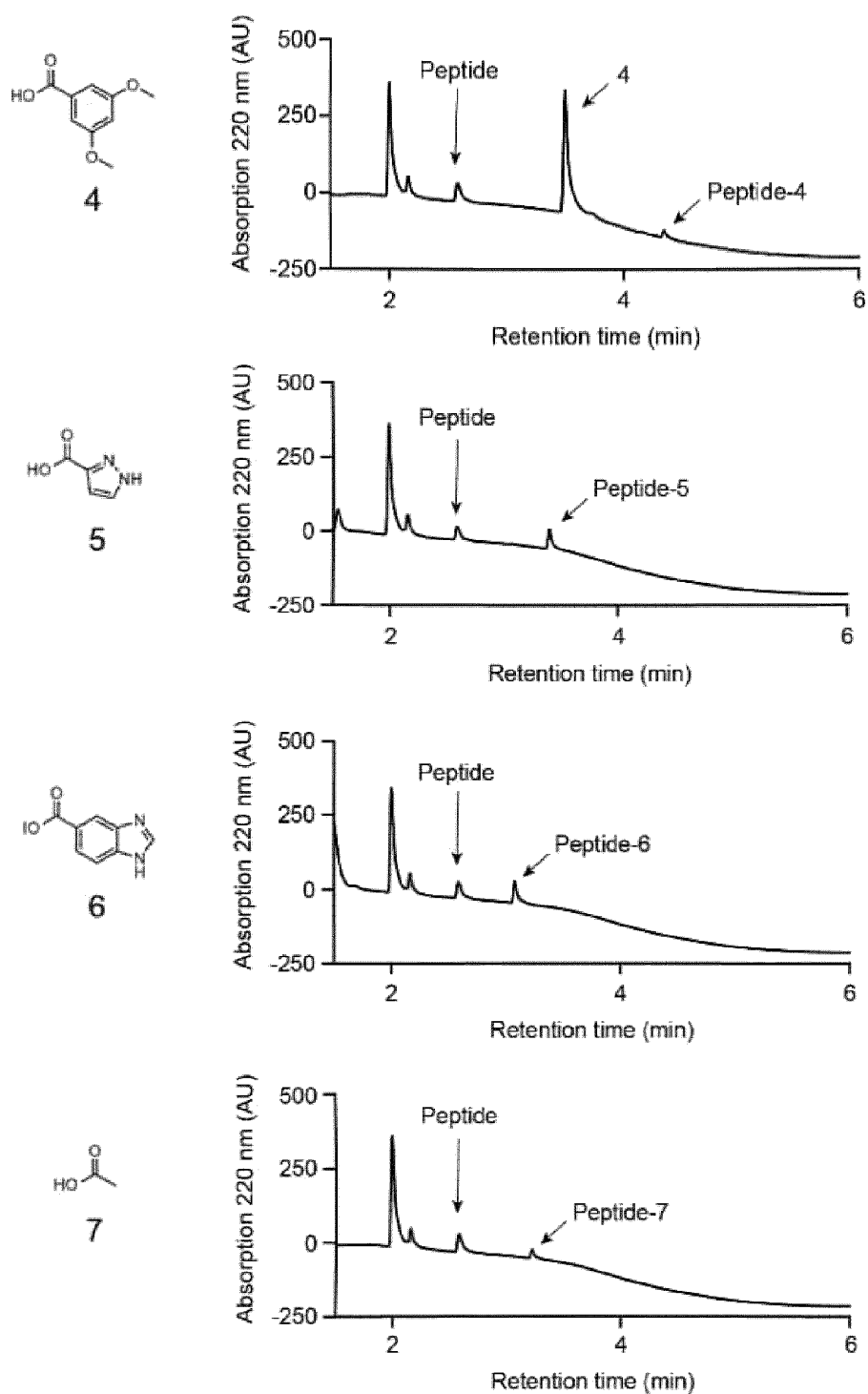
Figure 19 - continued



SUBSTITUTE SHEET (RULE 26)

35/64

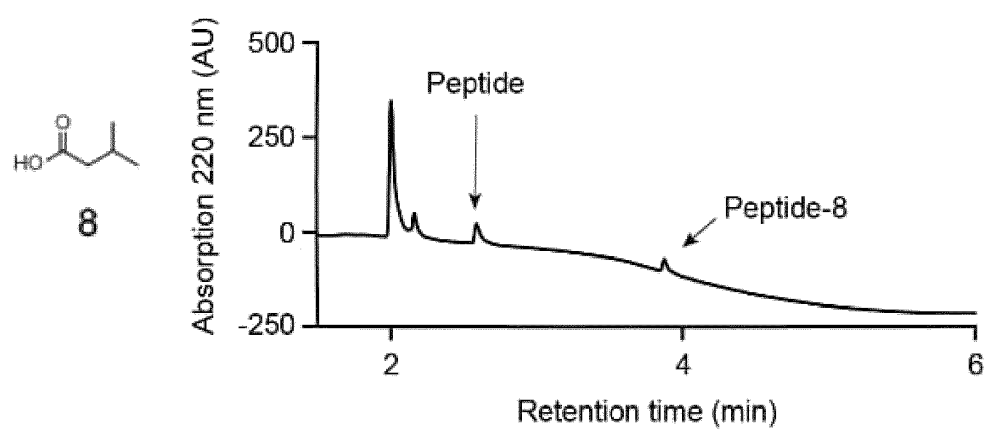
Figure 19 – continued



SUBSTITUTE SHEET (RULE 26)

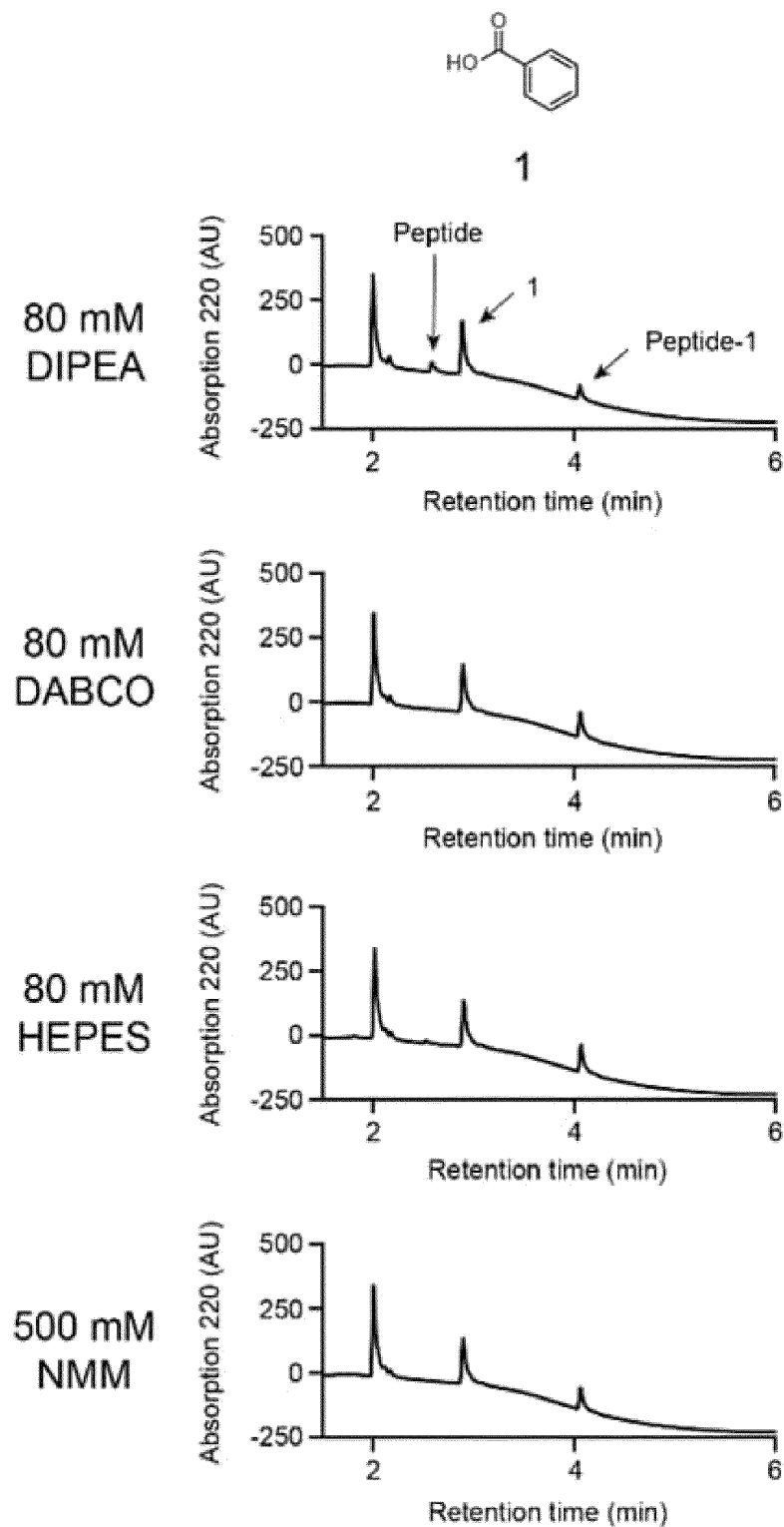
36/64

Figure 19 – continued



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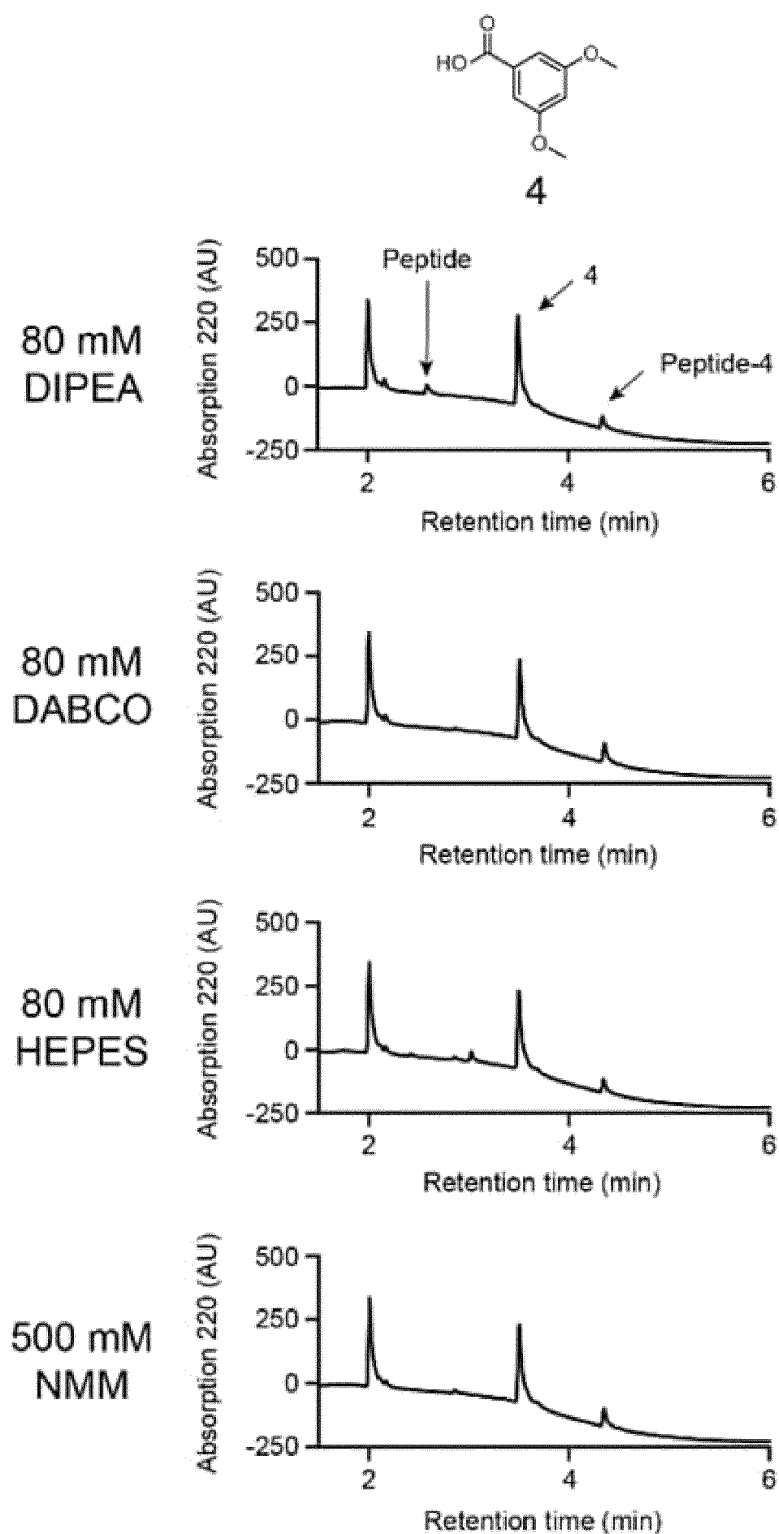
Figure 20



SUBSTITUTE SHEET (RULE 26)

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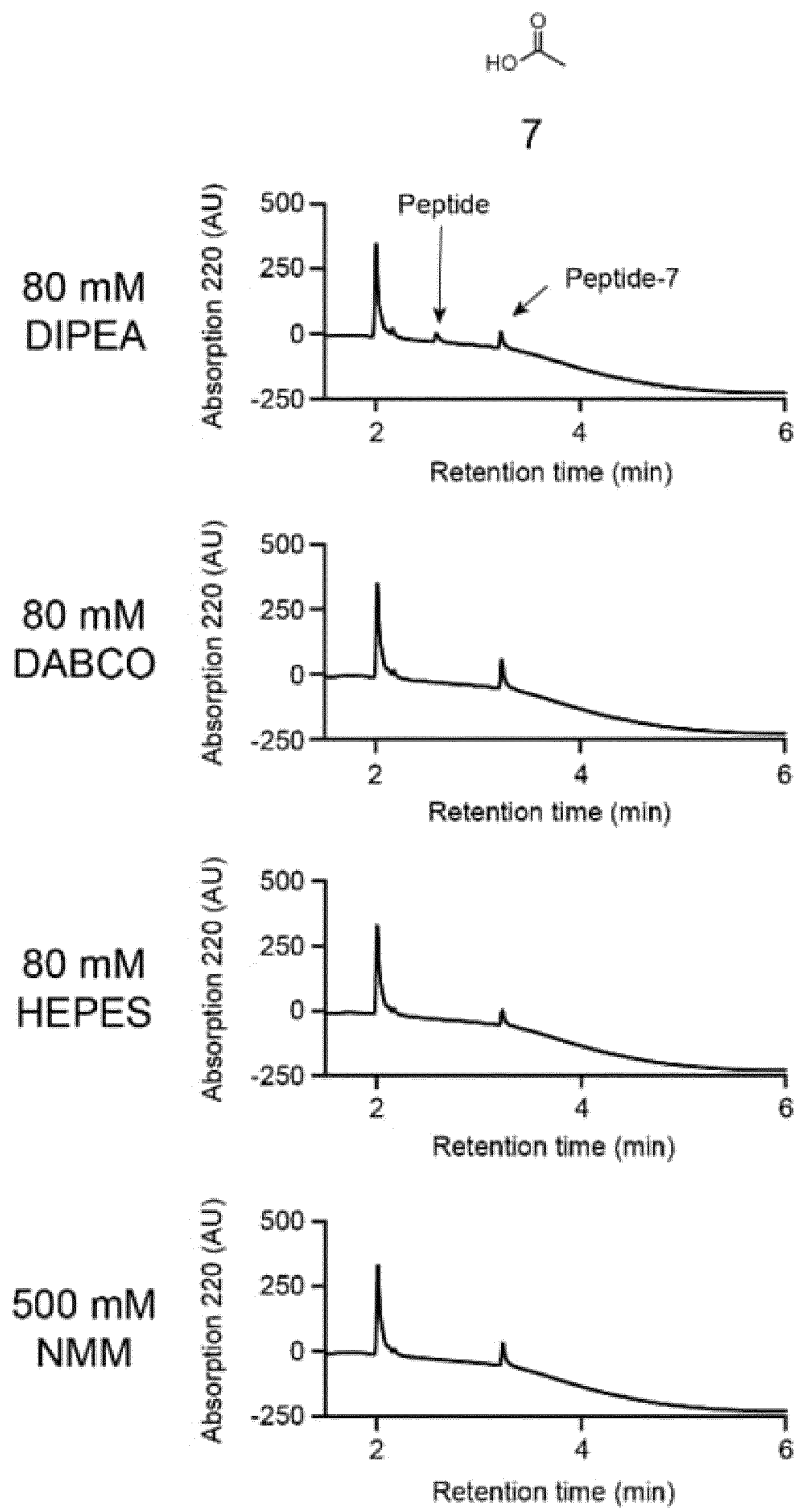
Figure 20 - continued



SUBSTITUTE SHEET (RULE 26)

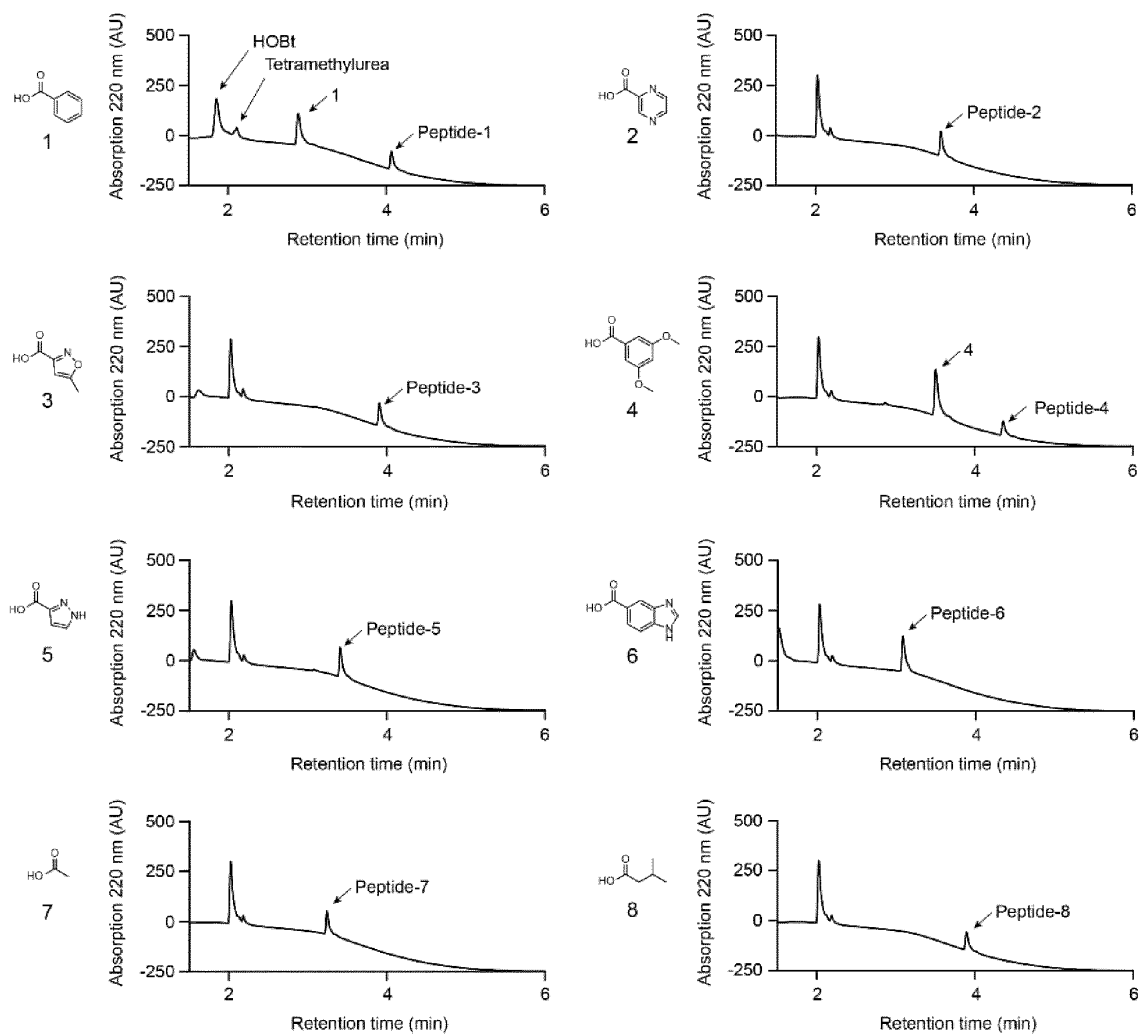
39/64

Figure 20 - continued



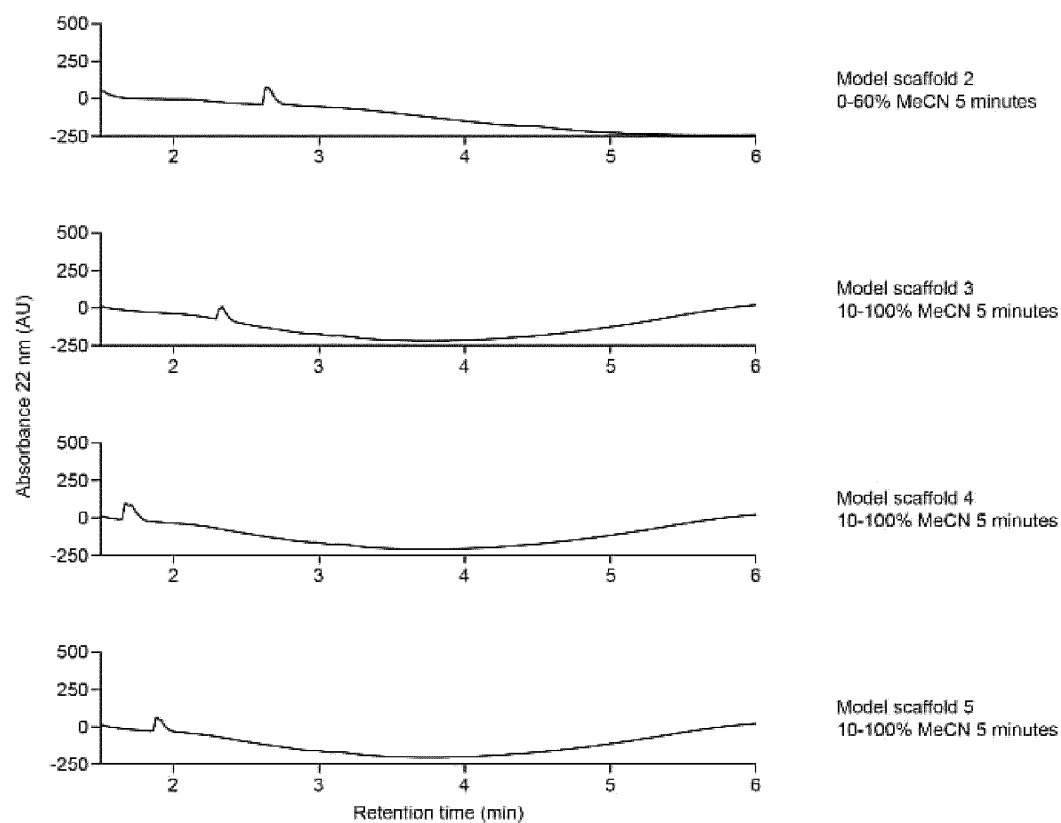
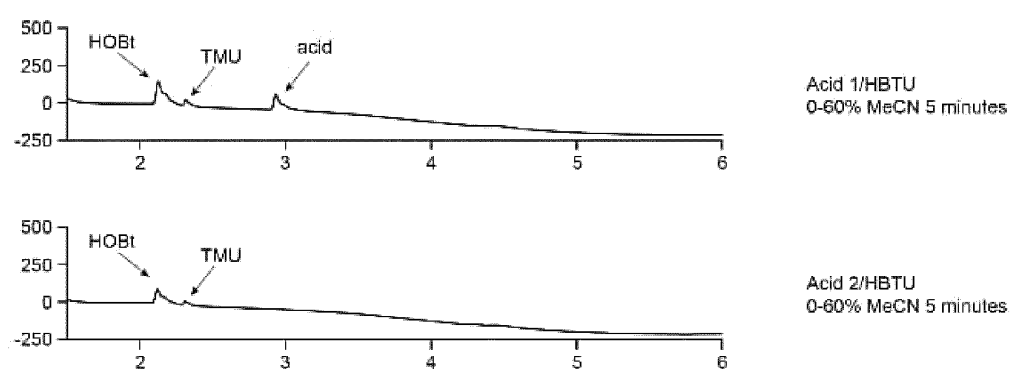
40/64

Figure 21



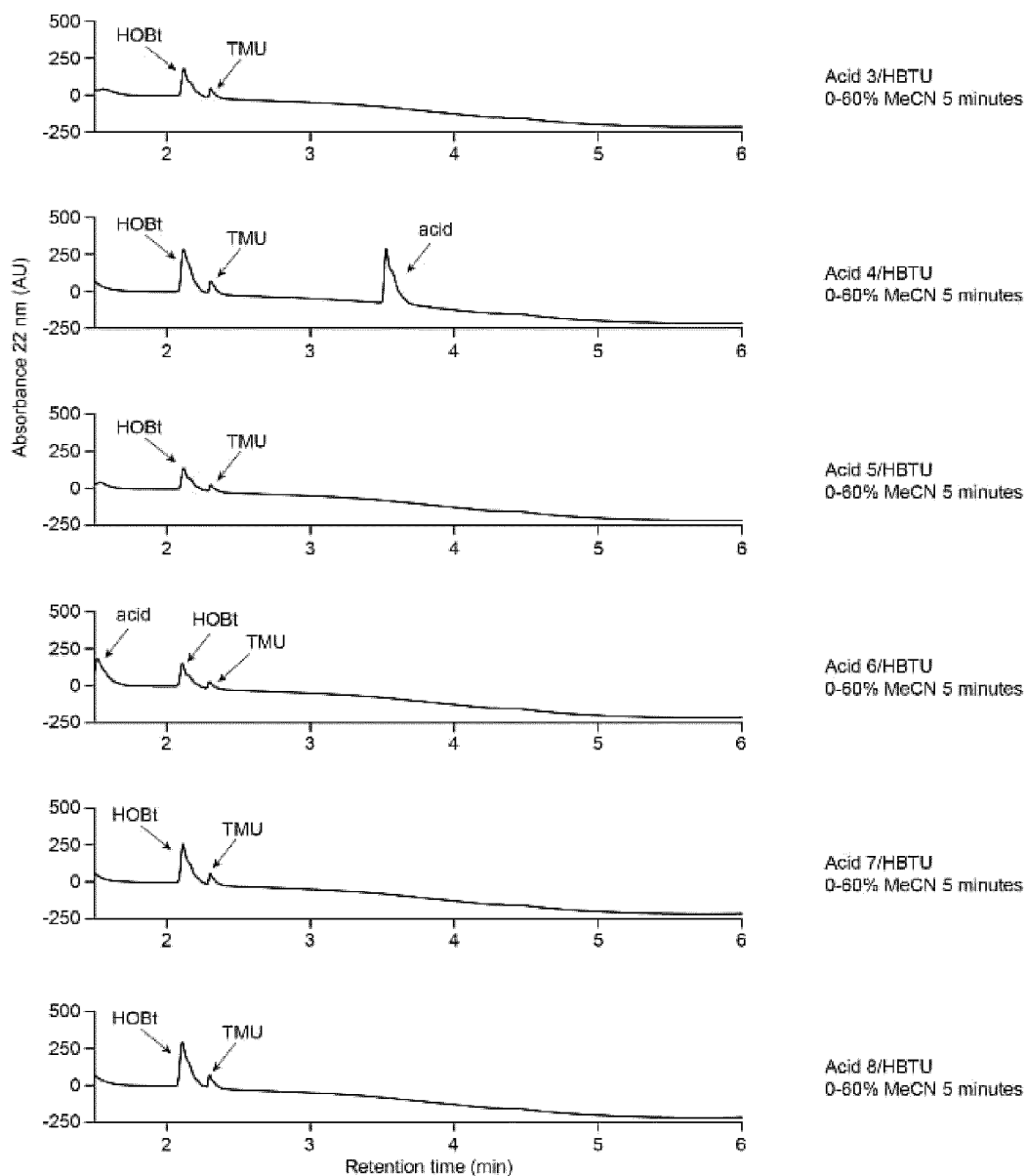
41/64

Figure 22

a**b**

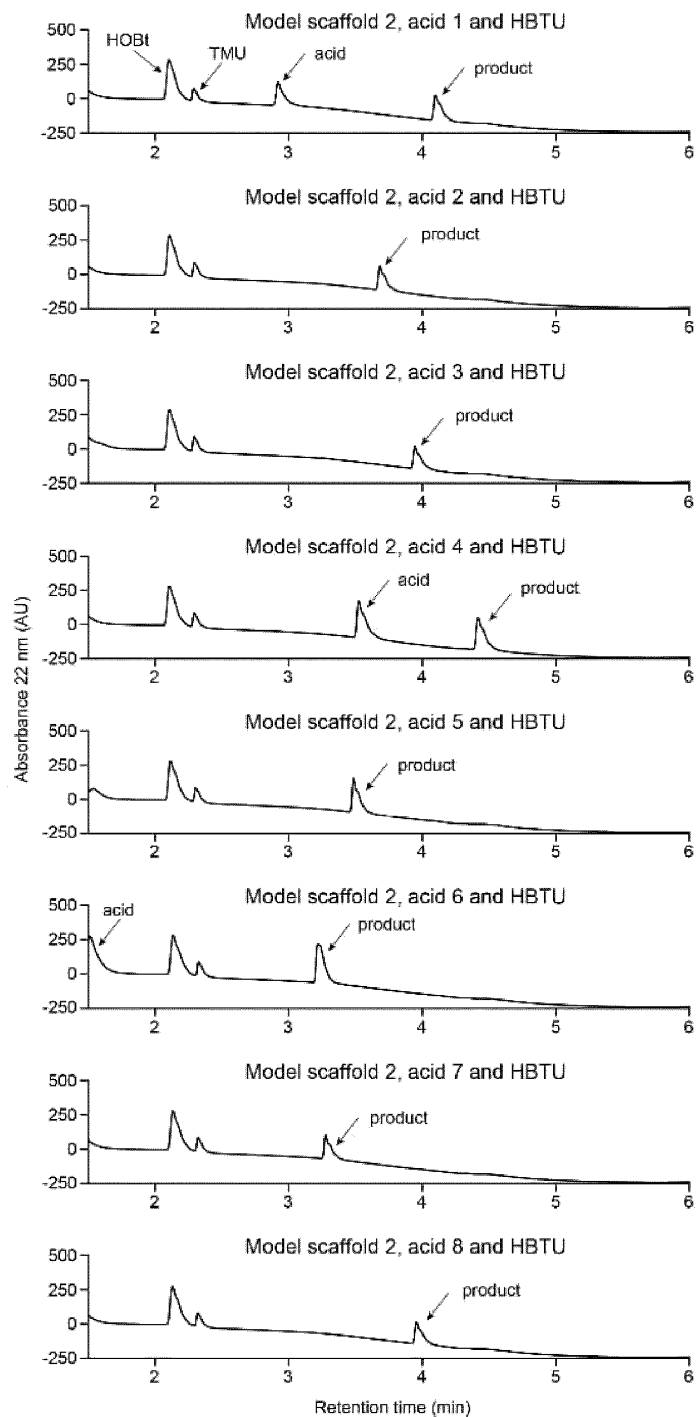
42/64

Figure 22 – continued



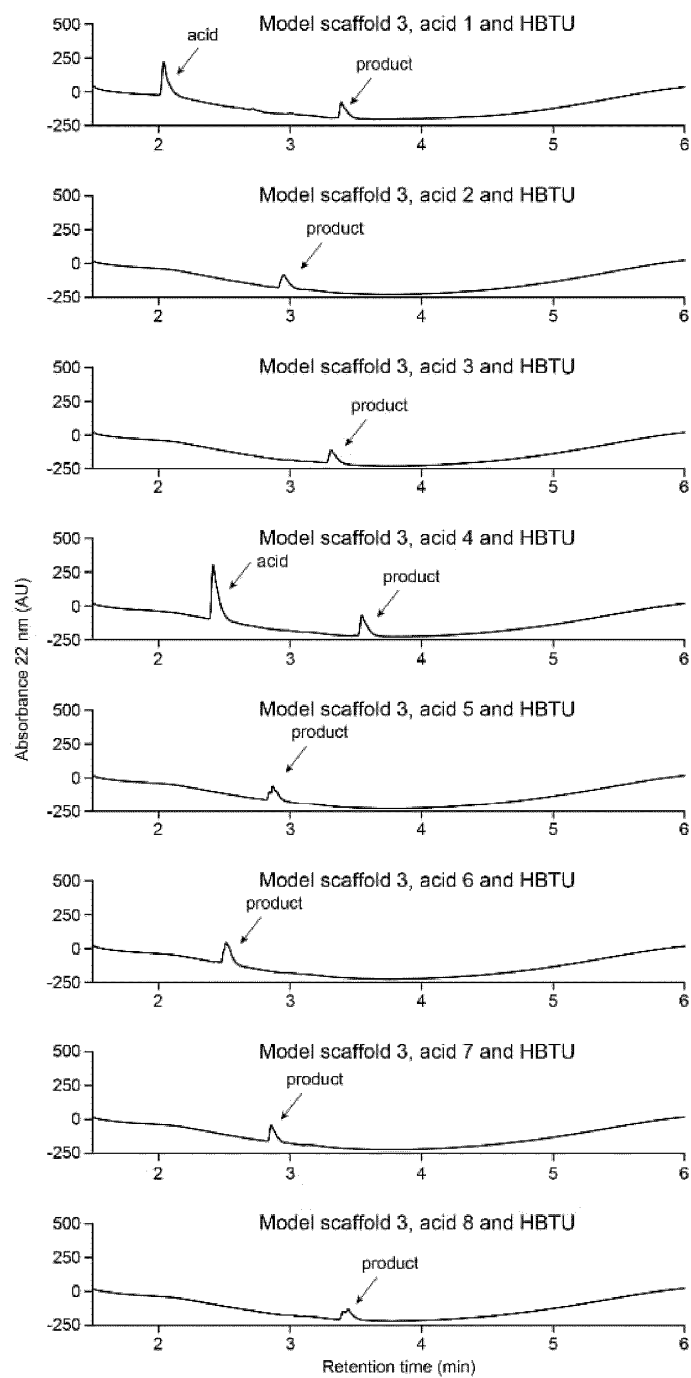
43/64

Figure 22 - continued

C

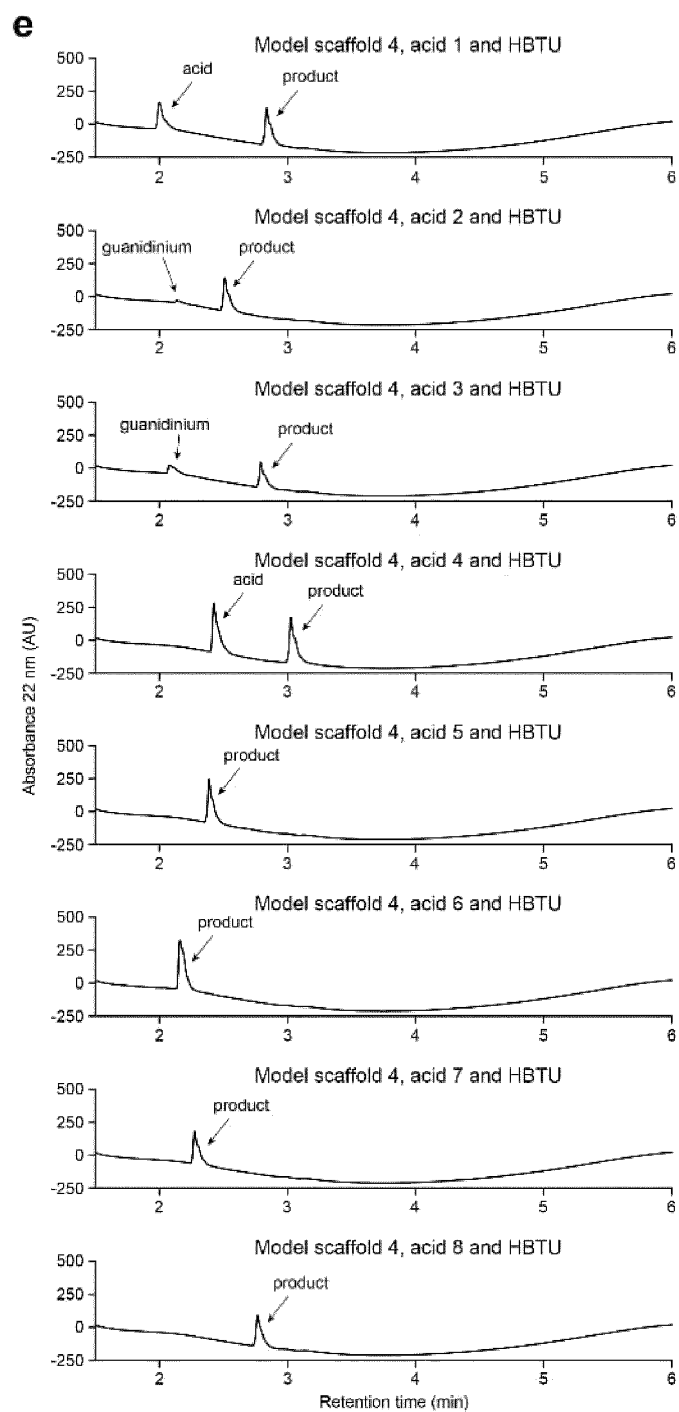
44/64

Figure 22 – continued

d

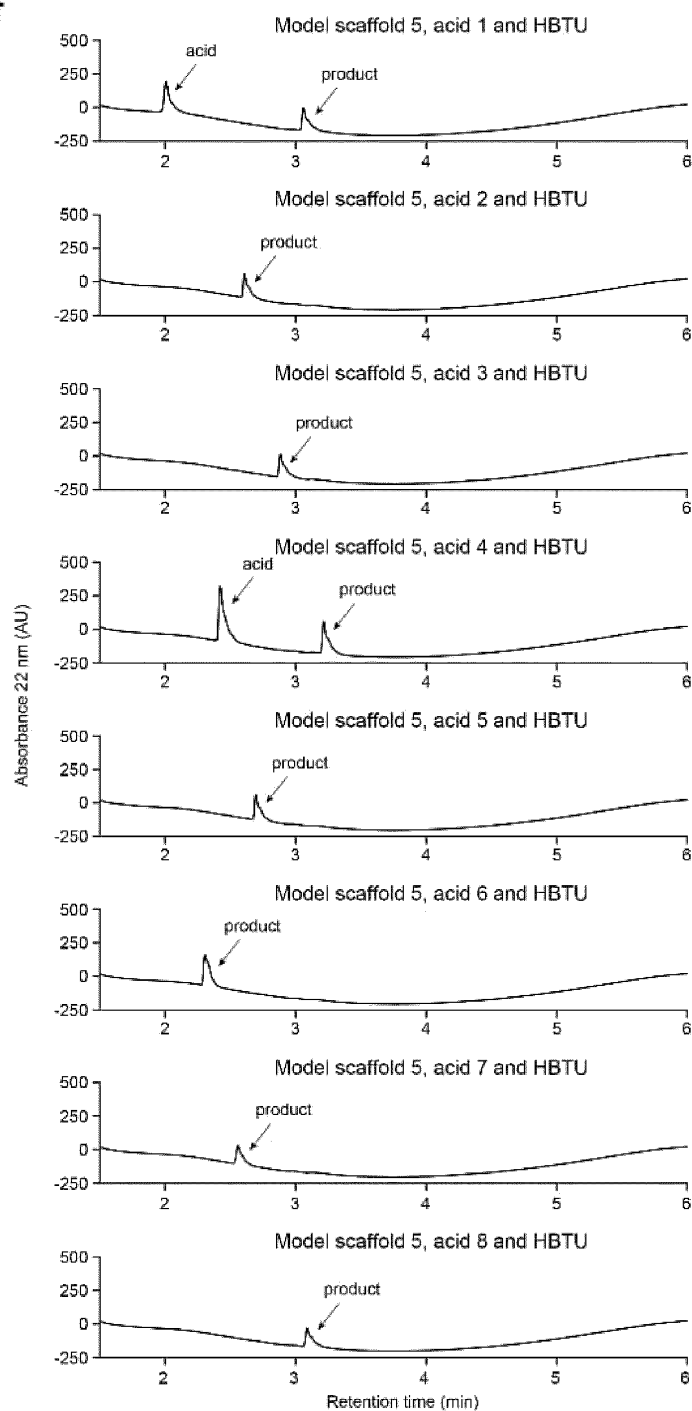
45/64

Figure 22 - continued



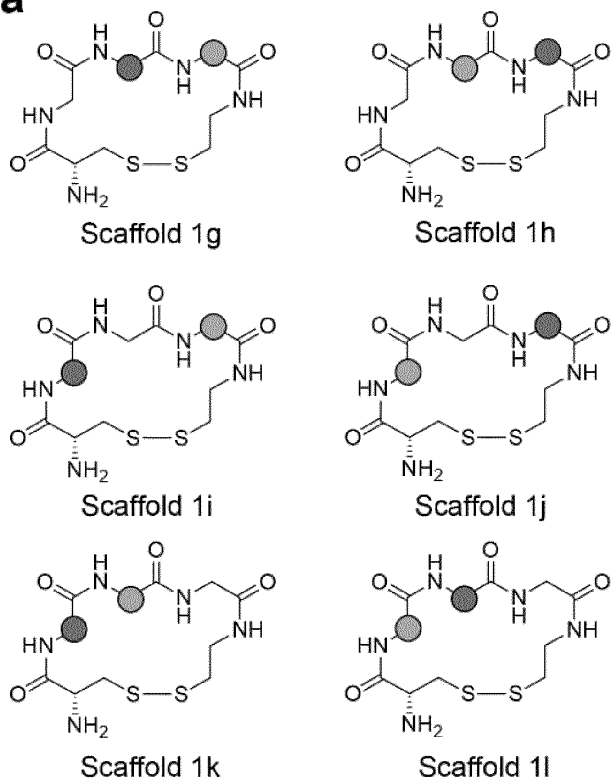
46/64

Figure 22 – continued

f

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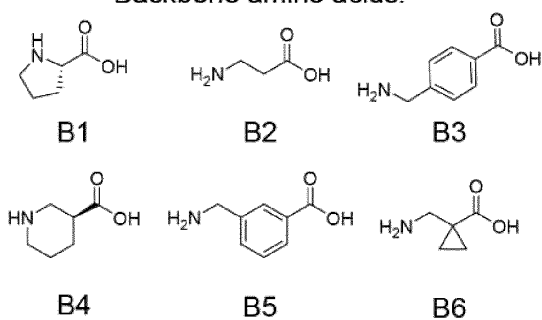
Figure 23

a

- Amino acids with diverse side chains
- Amino acids with diverse backbone structures

b

Backbone amino acids:

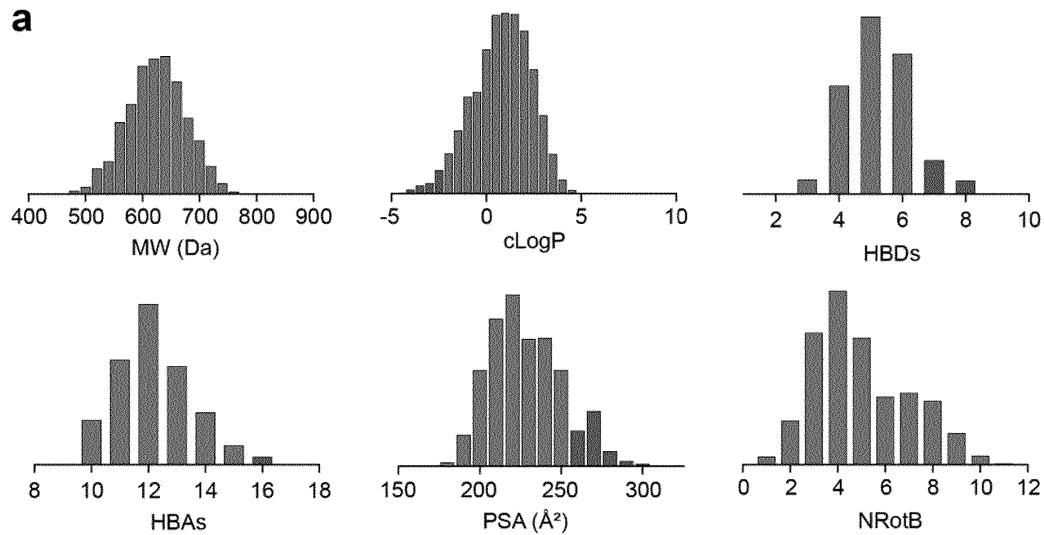
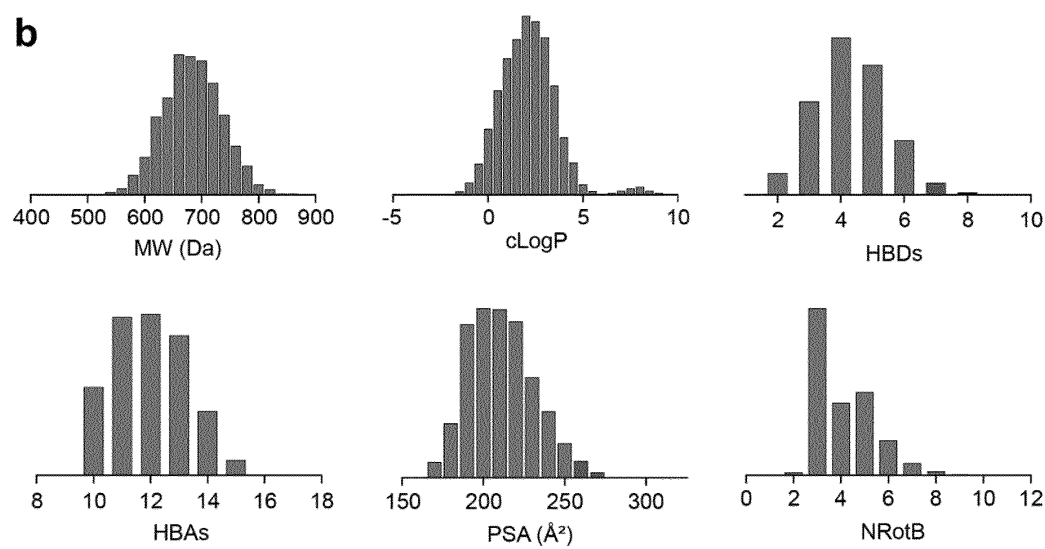


Sidechain amino acids:

F, H, I, L, Q, S, V, W, Y, a, f, s, v, w, y

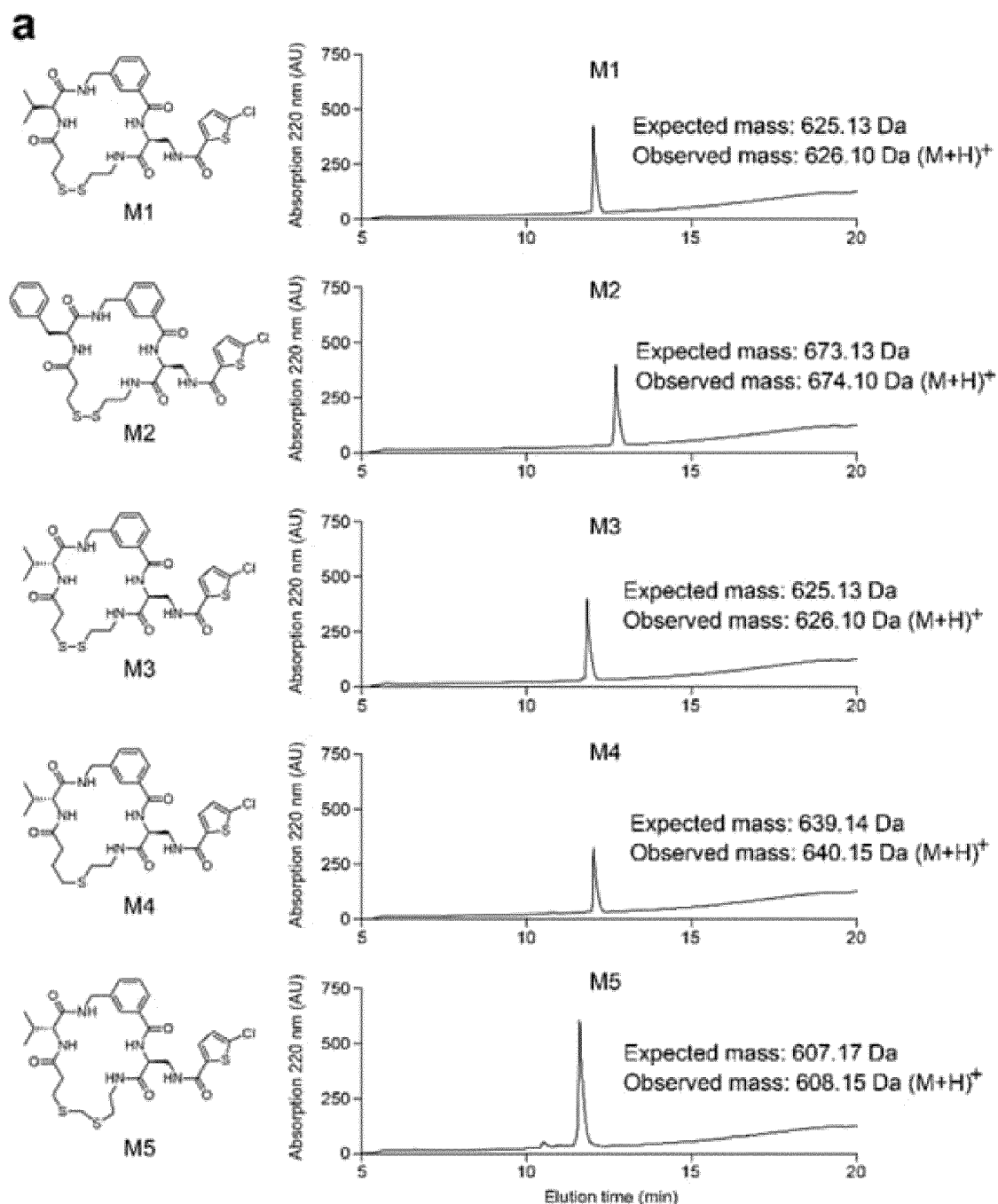
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Figure 24

a**b**

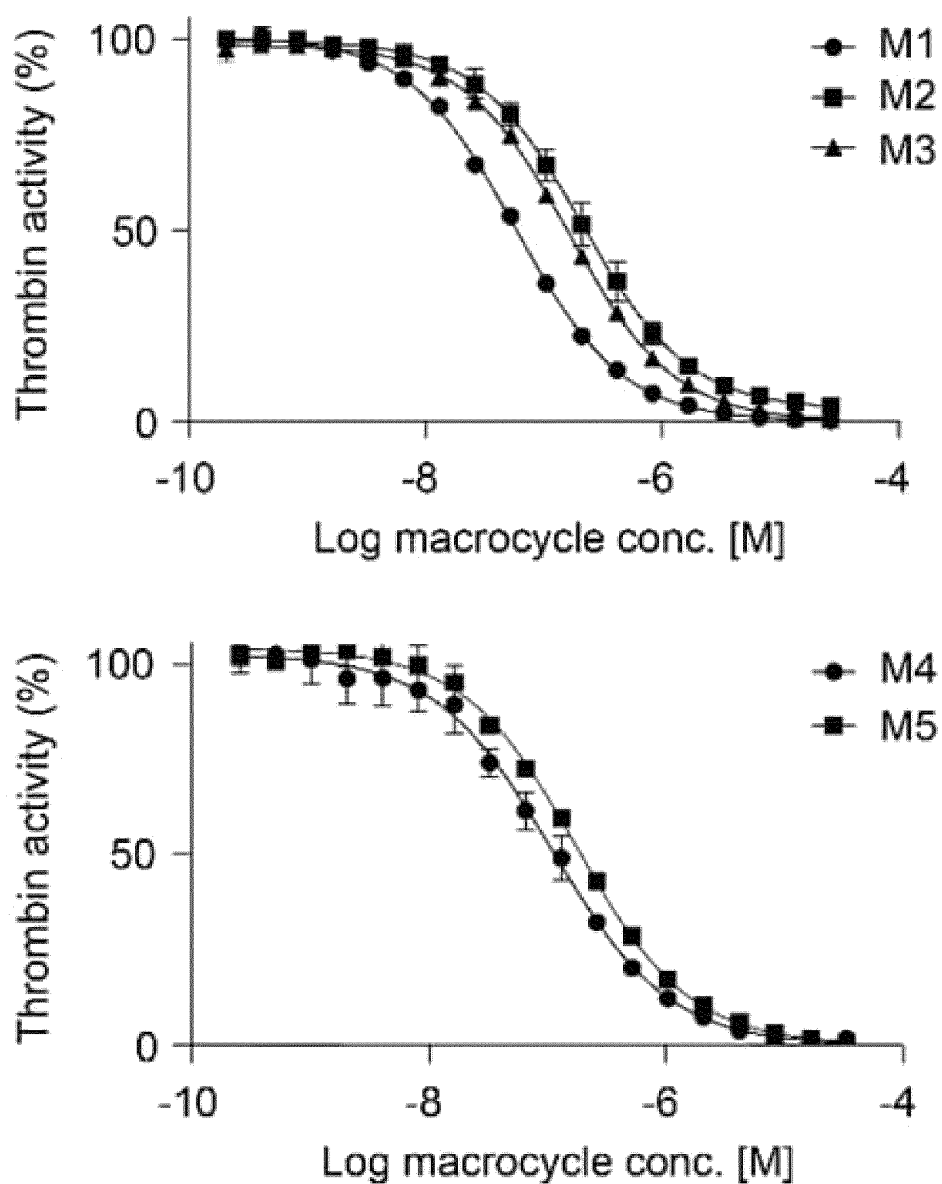
49/64

Figure 25



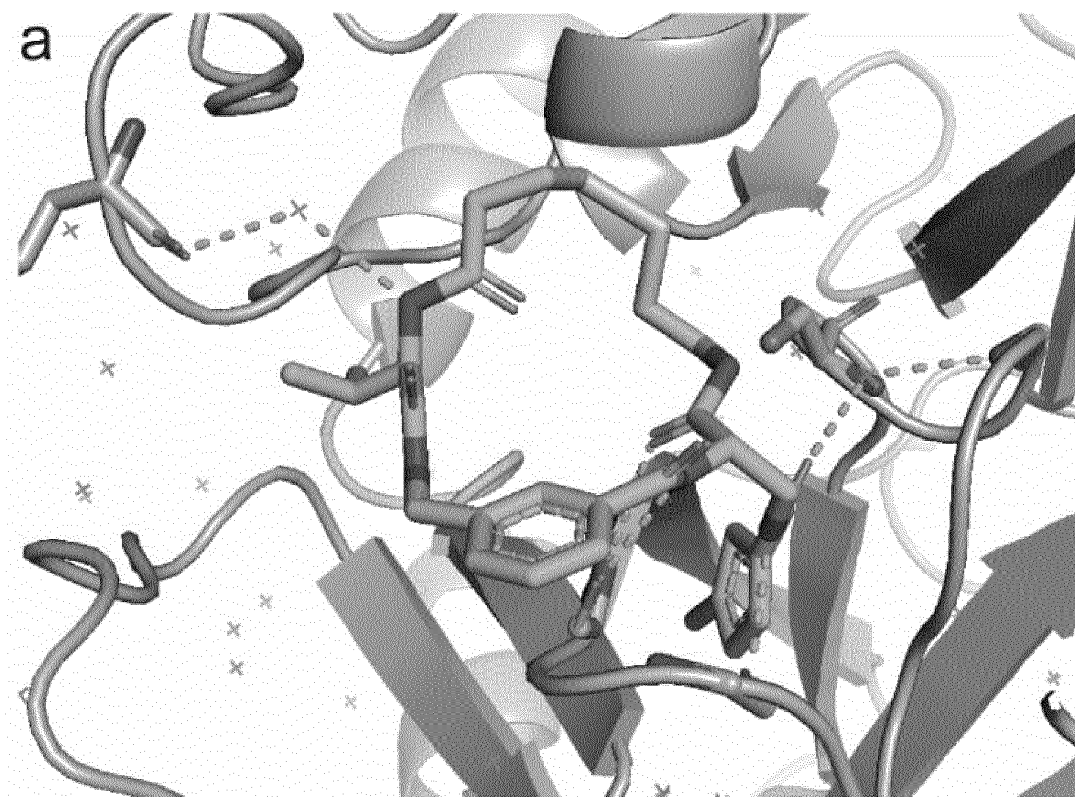
50/64

Figure 25 - continued

b

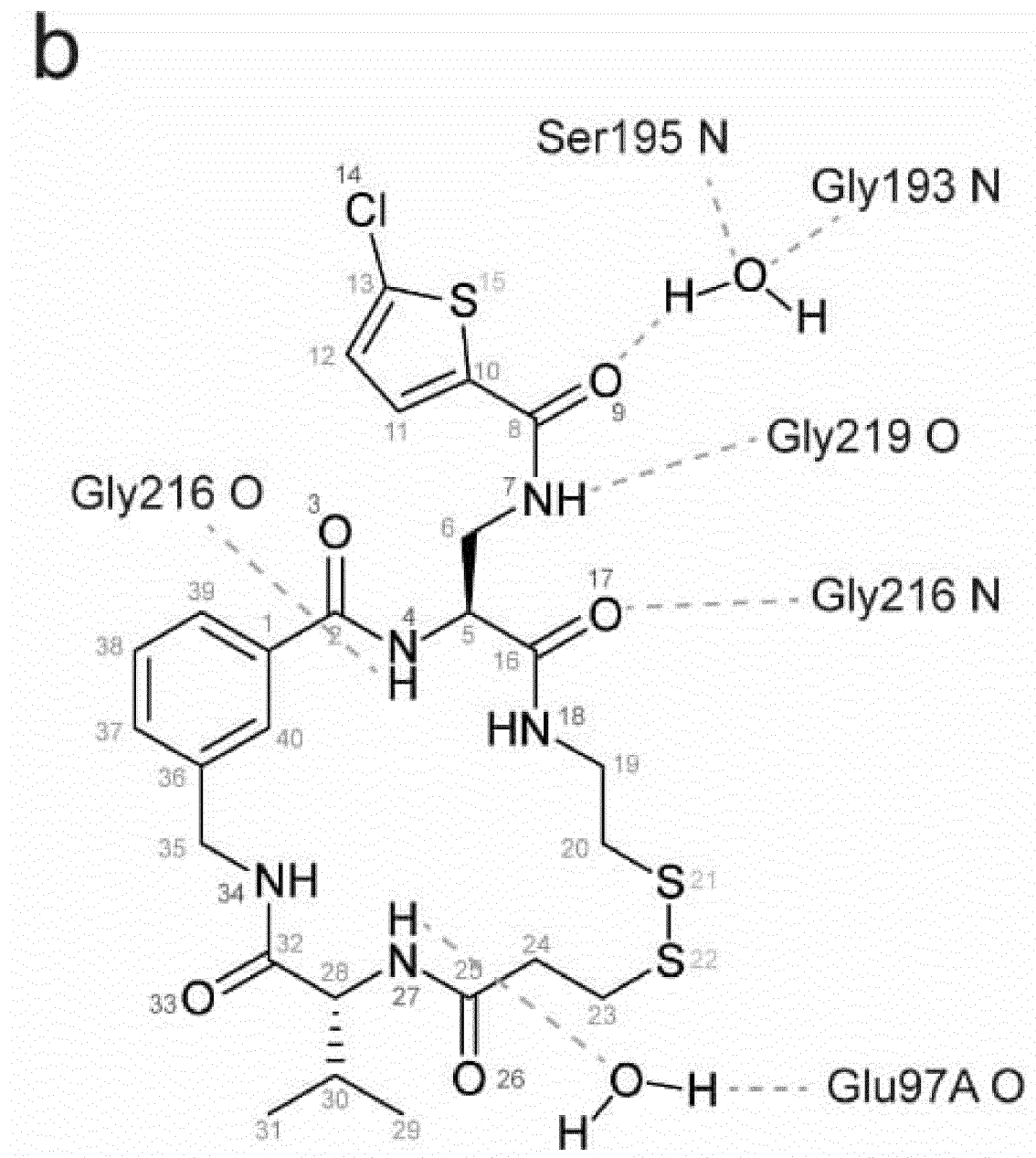
51/64

Figure 26



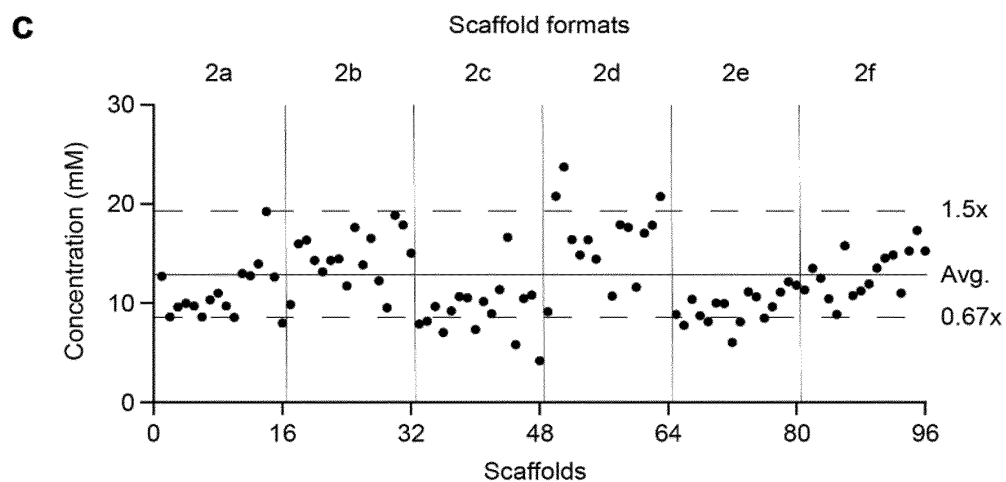
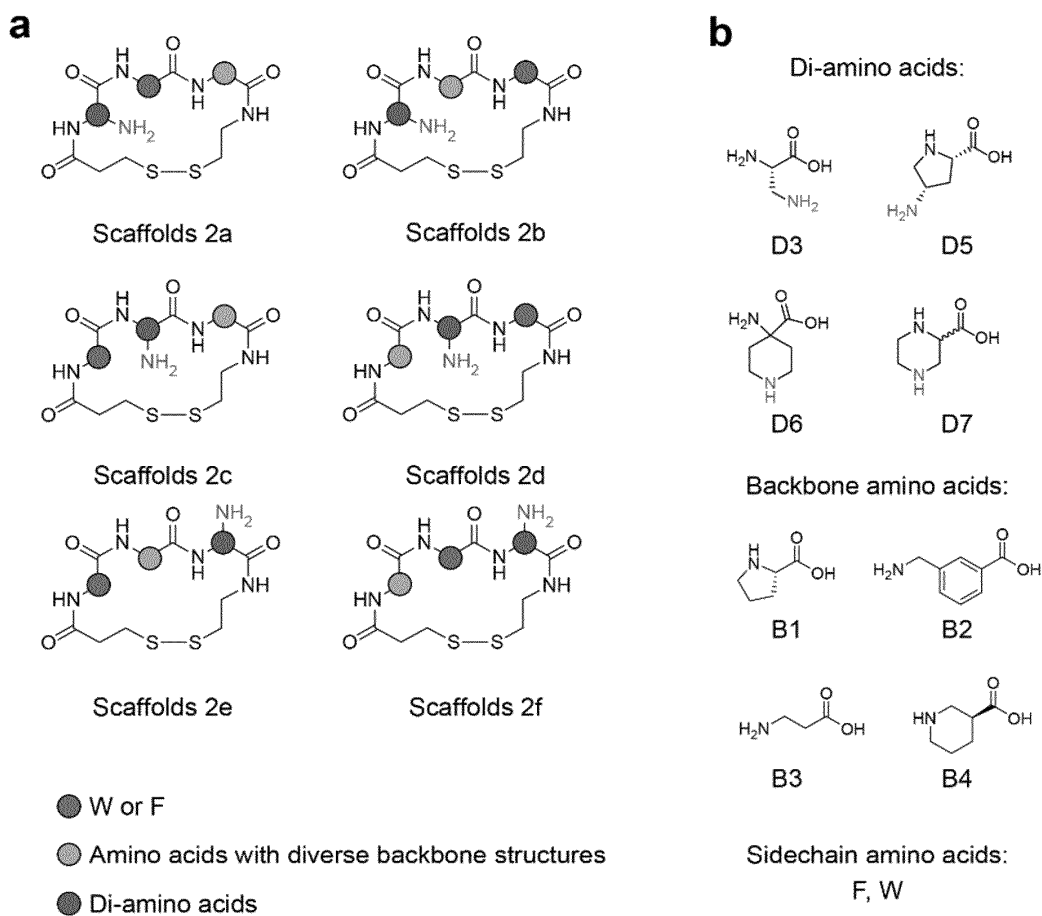
52/64

Figure 26 – continued



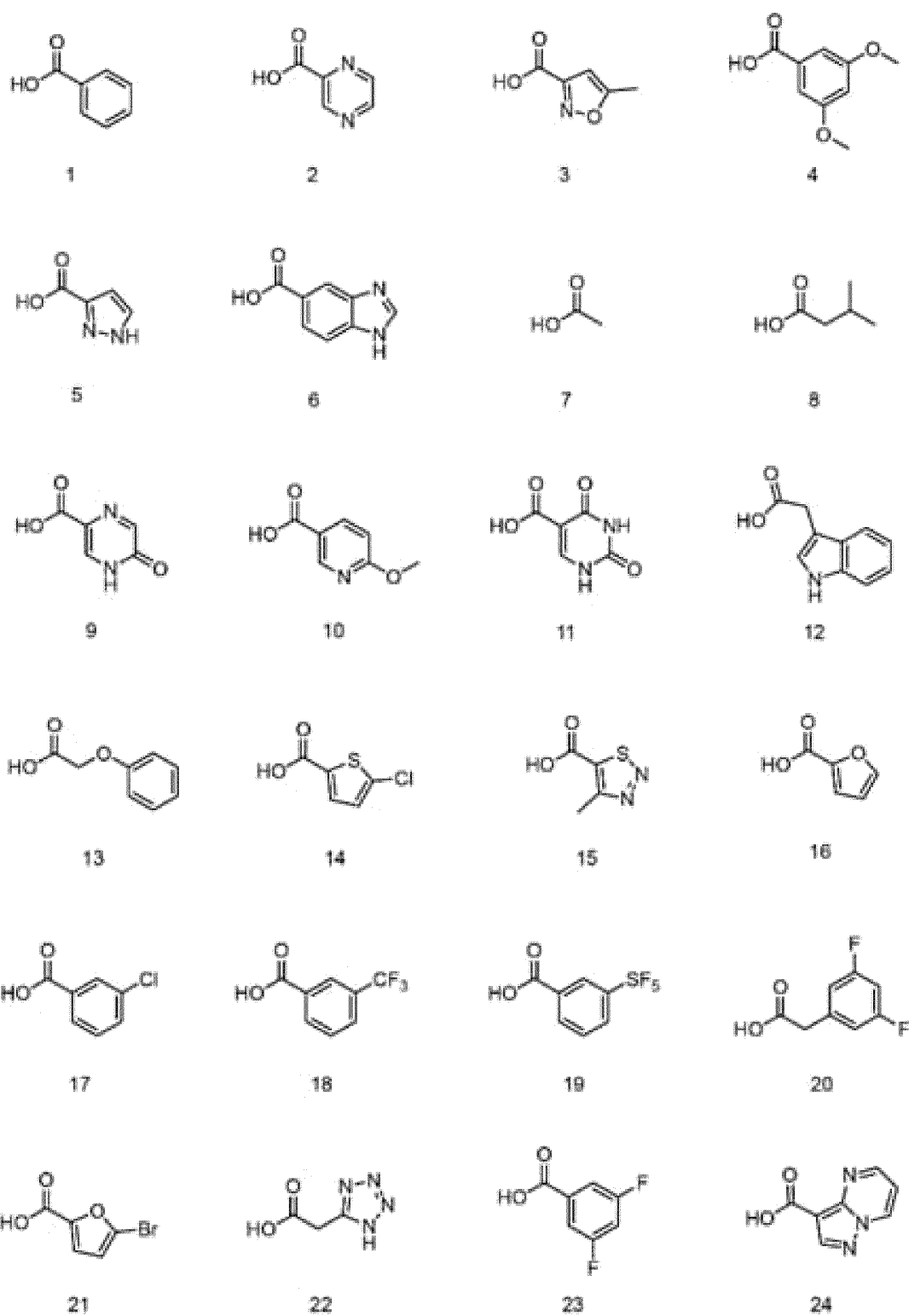
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Figure 27



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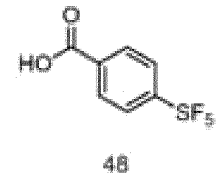
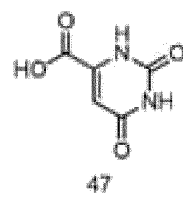
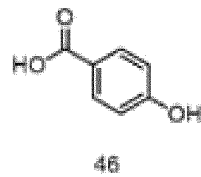
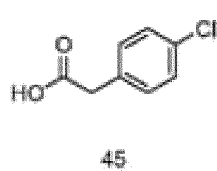
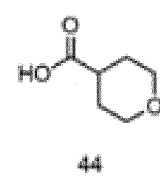
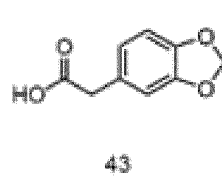
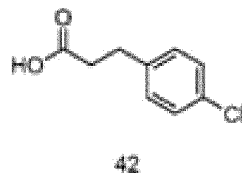
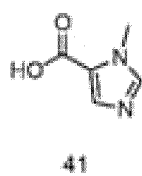
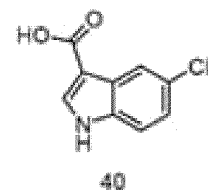
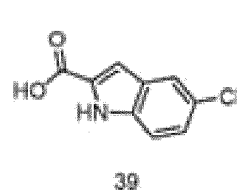
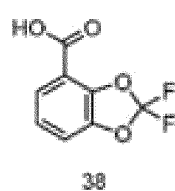
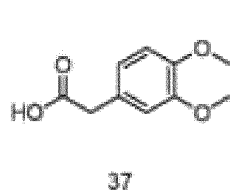
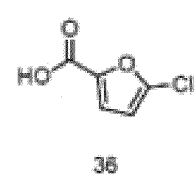
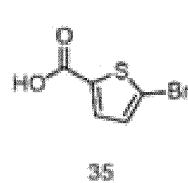
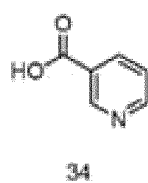
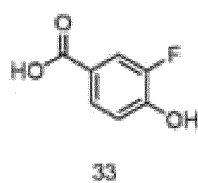
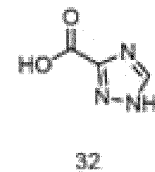
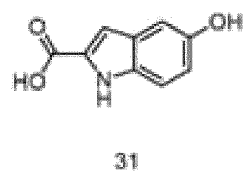
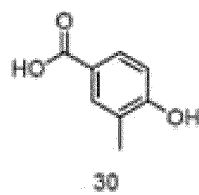
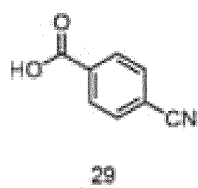
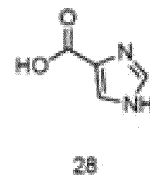
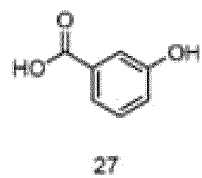
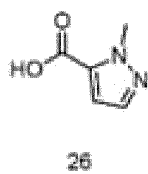
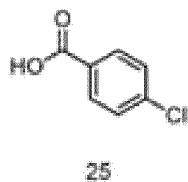
Figure 28



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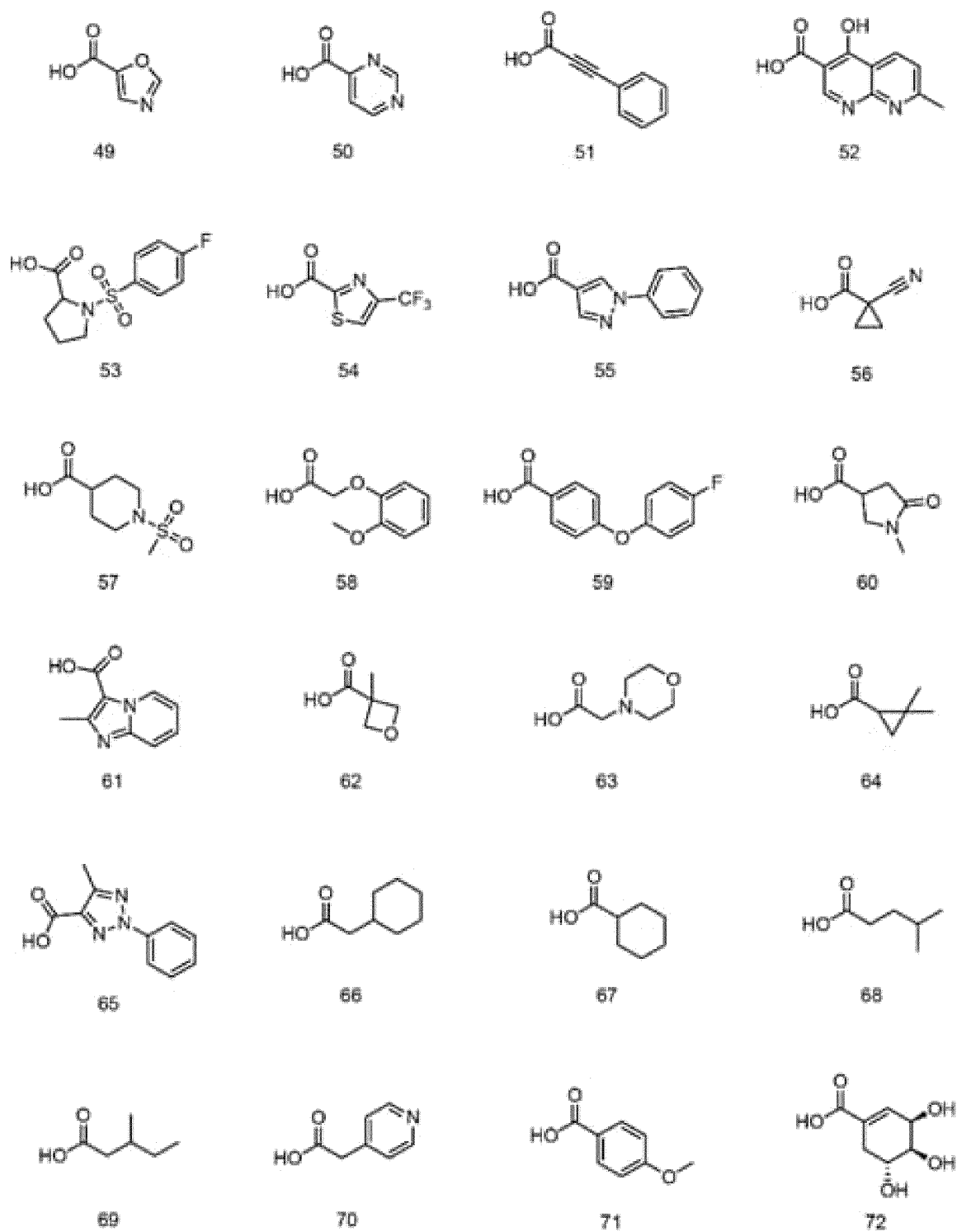
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Figure 28 - continued



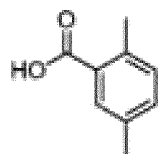
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Figure 28 – continued

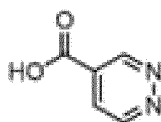


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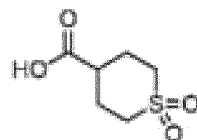
Figure 28 - continued



73



74



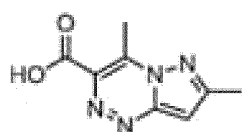
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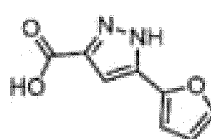
76



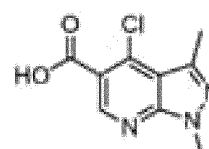
77



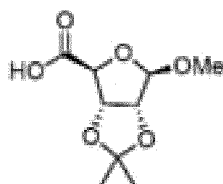
78



79



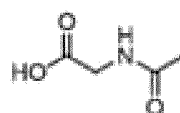
80



81



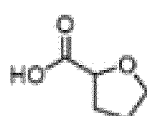
82



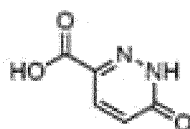
83



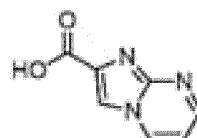
84



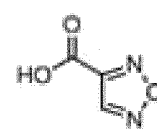
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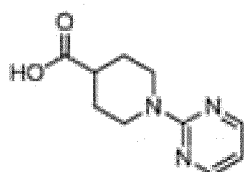
86



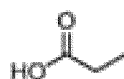
87



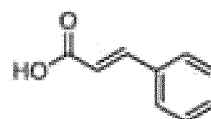
88



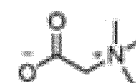
89



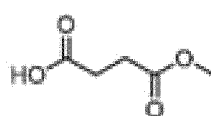
90



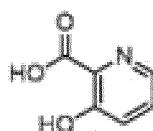
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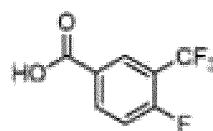
92



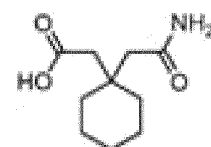
93



94



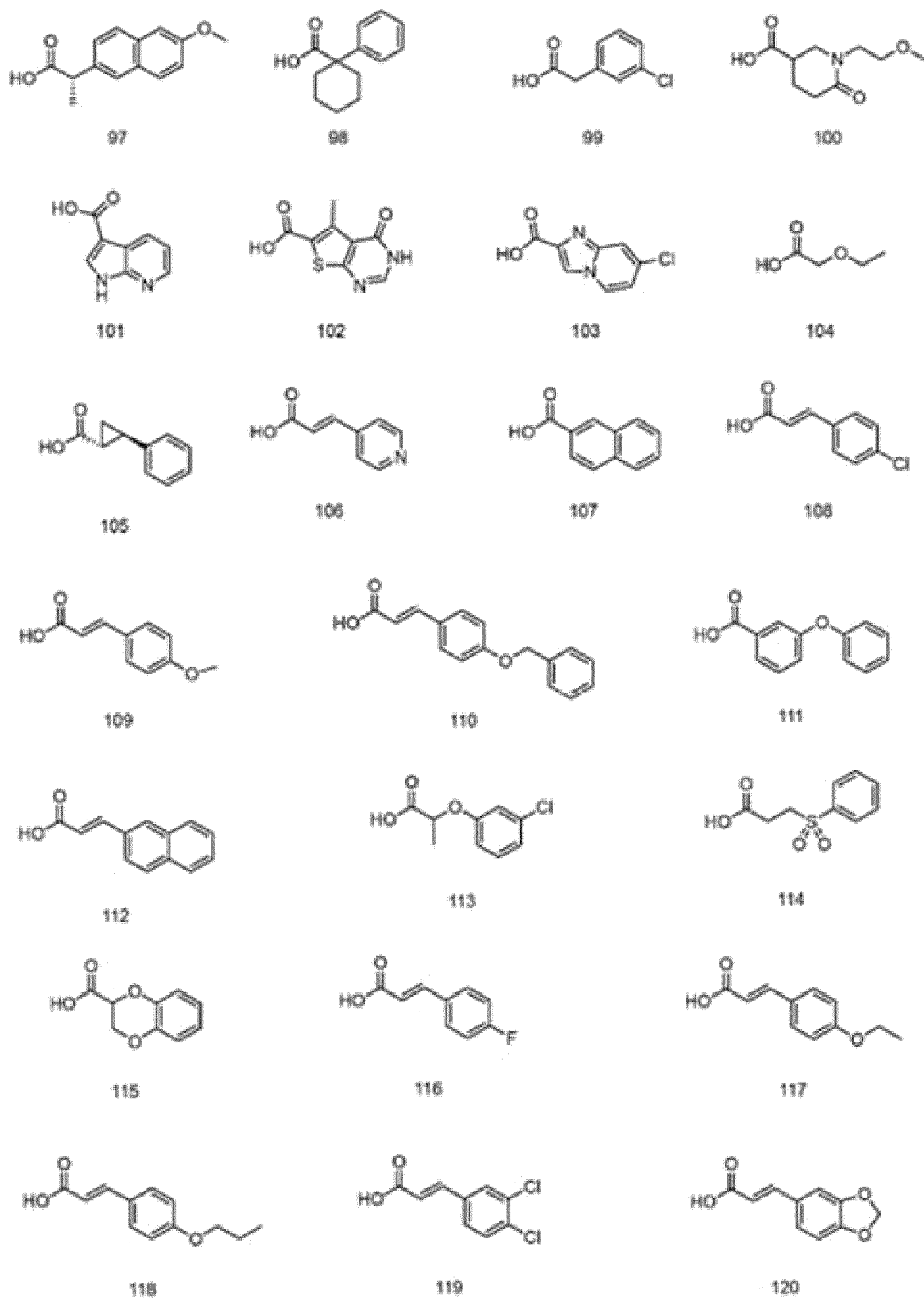
95



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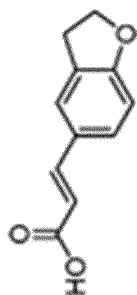
Figure 28 - continued



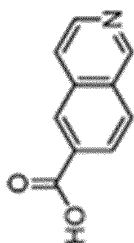
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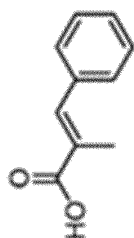
Figure 28 - continued



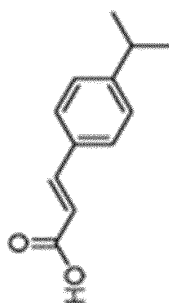
124



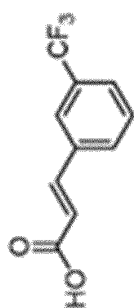
128



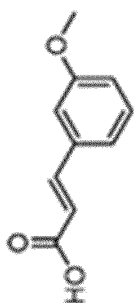
123



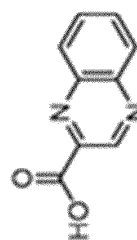
127



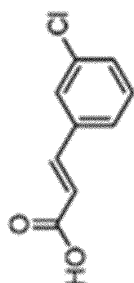
122



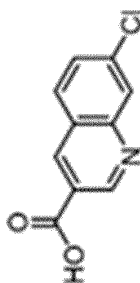
126



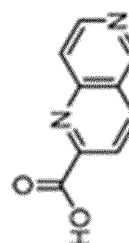
130



121



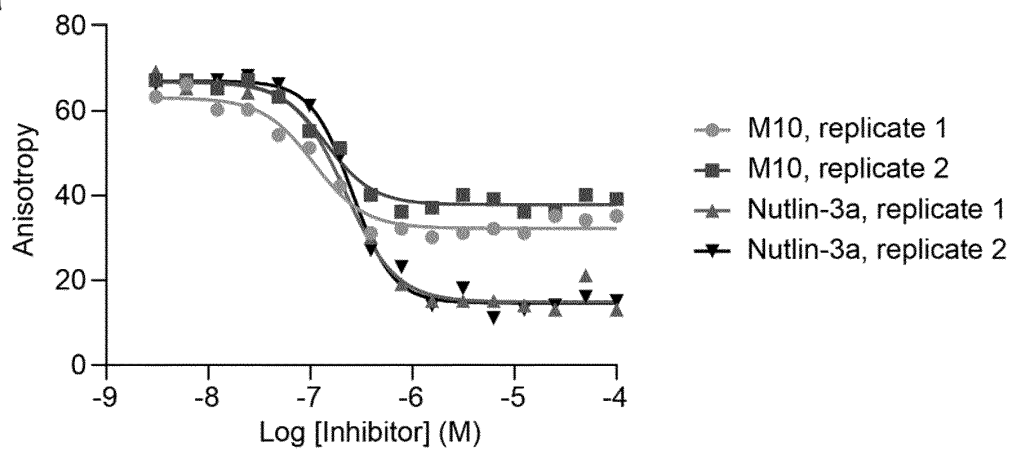
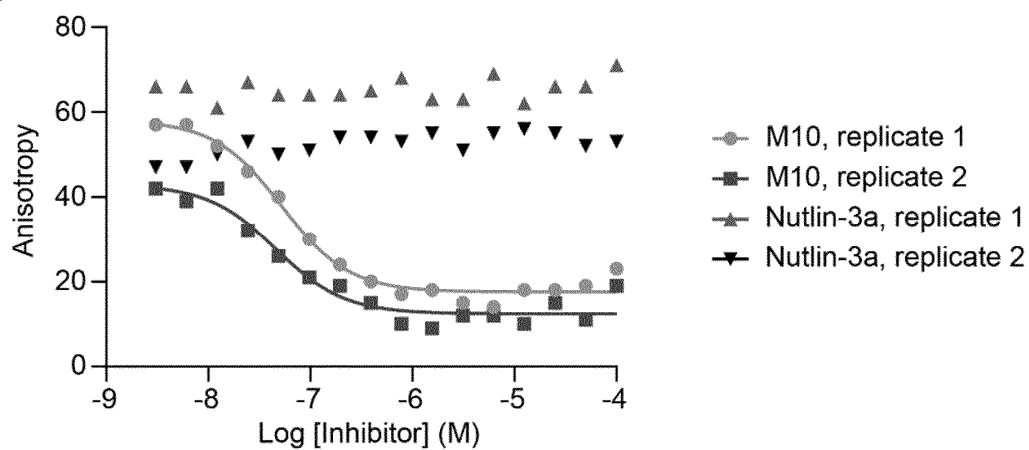
125



129

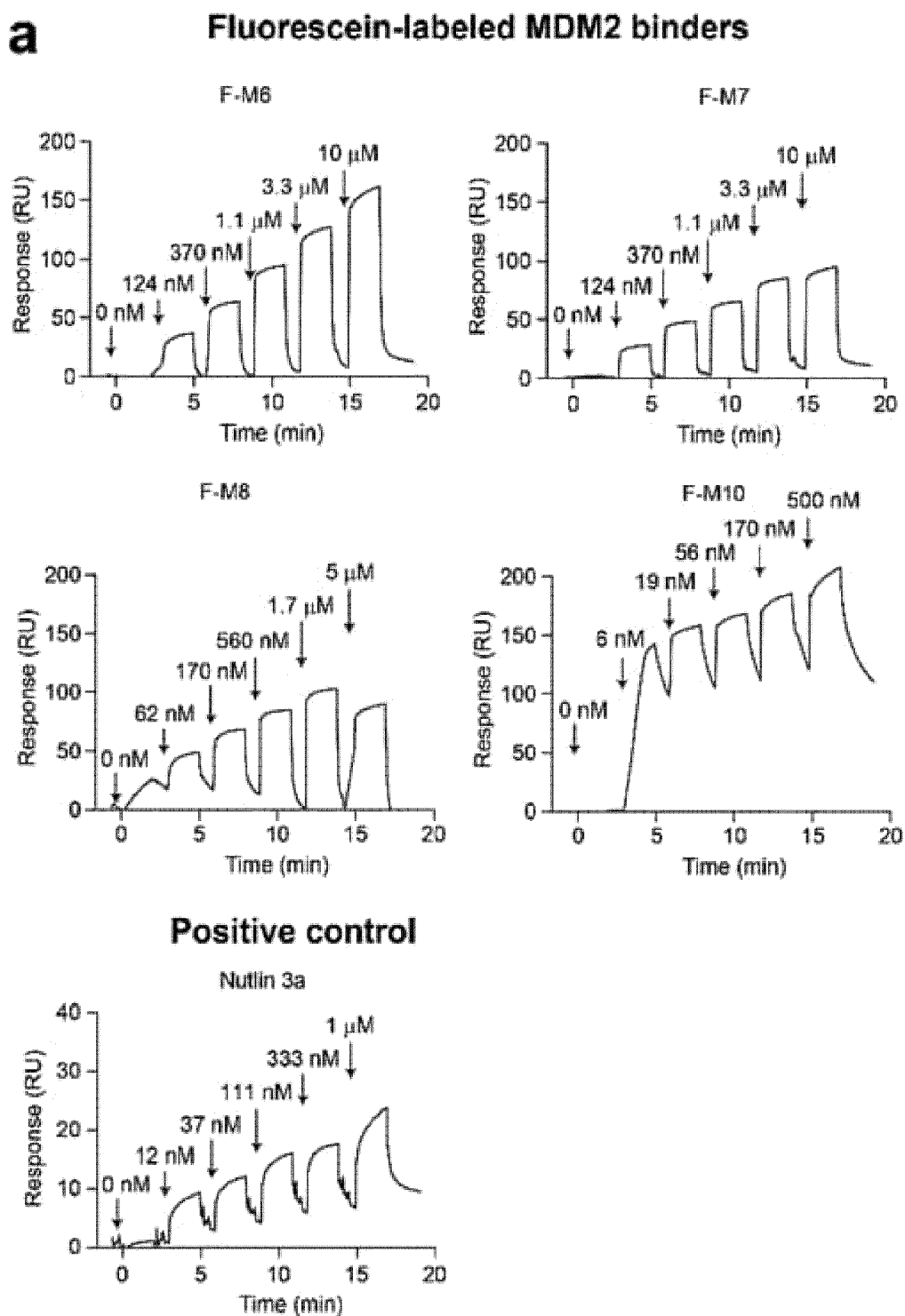
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Figure 29

a**b**

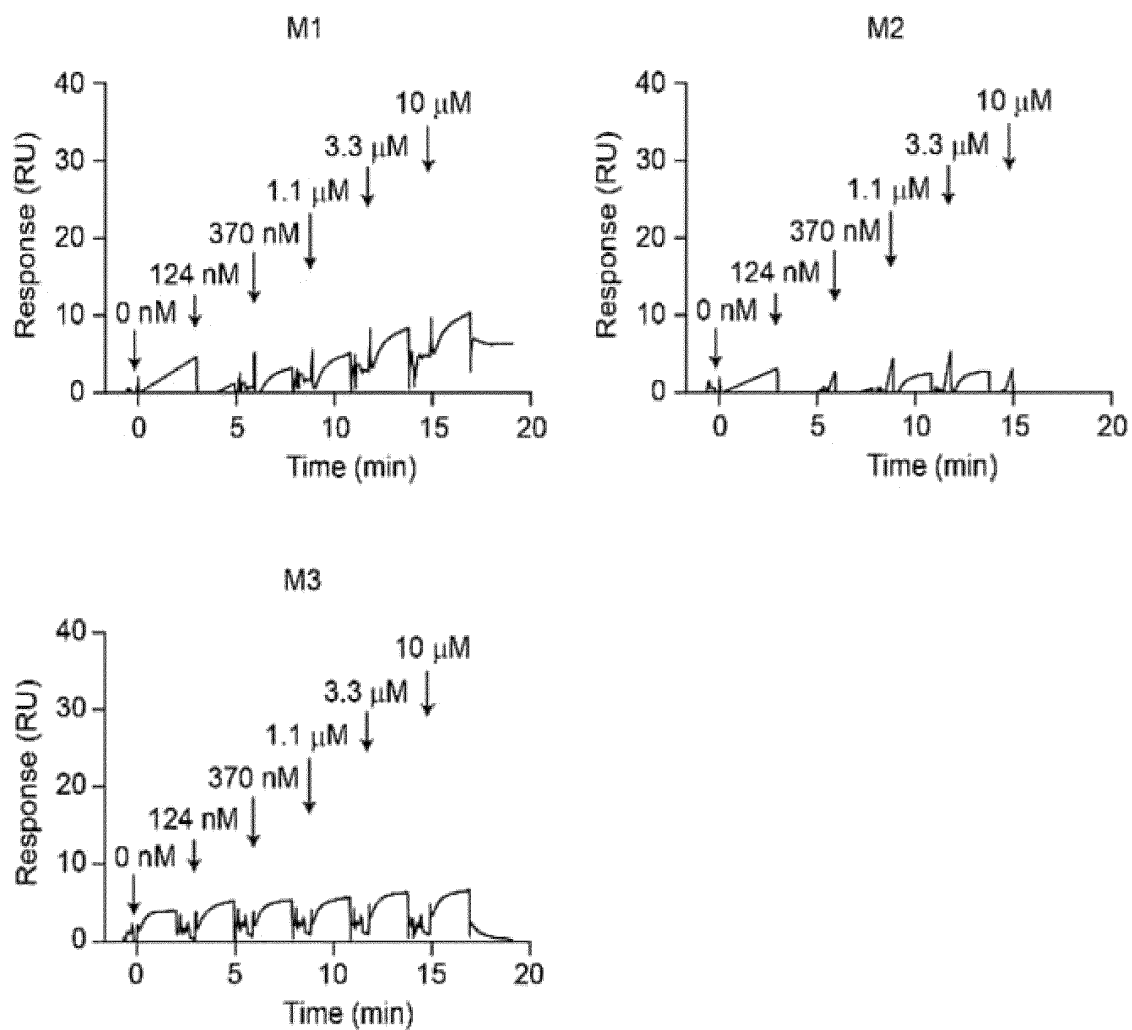
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Figure 30



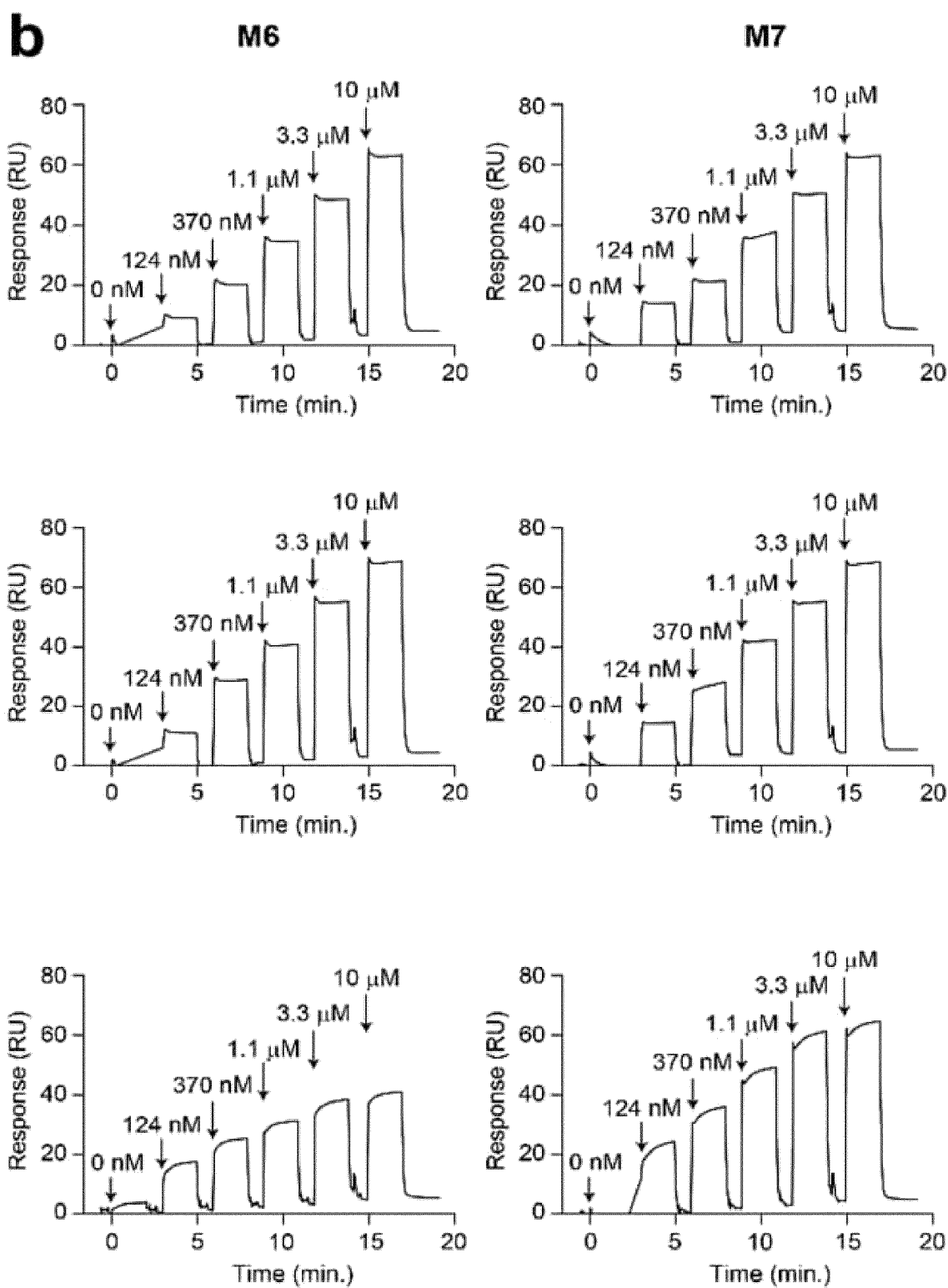
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Figure 30 – continued

Negative controls (thrombin inhibitors)

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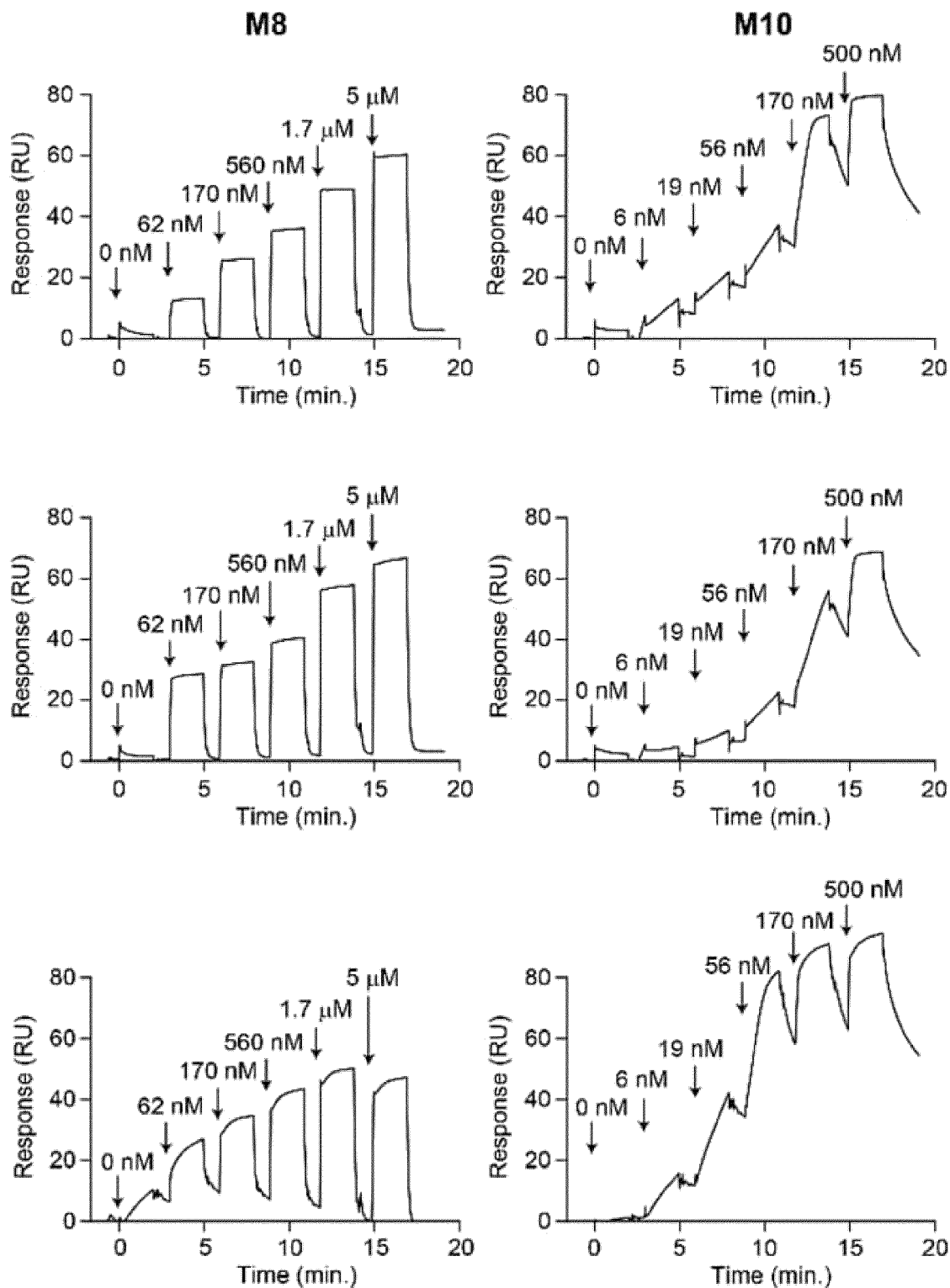
Figure 30 – continued



SUBSTITUTE SHEET (RULE 26)

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Figure 30 – continued



SUBSTITUTE SHEET (RULE 26)